

10/517,633

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FILE 'CAPLUS' ENTERED AT 13:57:57 ON 23 MAY 2007

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FILE COVERS 1907 - 23 May 2007 VOL 146 ISS 22

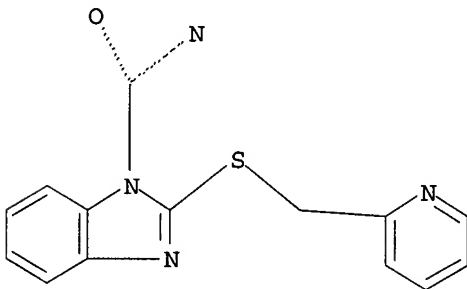
FILE LAST UPDATED: 22 May 2007 (20070522/ED)

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L1 STR



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L3 72 SEA FILE=REGISTRY SSS FUL L1

L4 15 SEA FILE=CAPLUS L3

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L4 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:799468 CAPLUS

DOCUMENT NUMBER: 141:320050

TITLE: Controlled-release compositions containing proton pump inhibitors

INVENTOR(S): Nagahara, Naoki; Miyamoto, Keiko; Akiyama, Yohko

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 243 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004082665	A1	20040930	WO 2004-JP3483	20040316
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2519208	A1	20040930	CA 2004-2519208	20040316
JP 2004300149	A	20041028	JP 2004-75037	20040316
EP 1607088	A1	20051221	EP 2004-720975	20040316
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK			
US 2006177509	A1	20060810	US 2005-549150	20050915
PRIORITY APPLN. INFO.:			JP 2003-72858	A 20030317
			WO 2004-JP3483	W 20040316

AB It is intended to provide a controlled release composition in which the release of its active ingredient (a proton pump inhibitor) is controlled in two or more steps with different release speeds. This composition, which comprises (1) a release-controlling part A capable of controlling the release speed of the active ingredient at a definite level, and (2) a release-controlling part B capable of controlling the release speed of the active ingredient at a definite level which is lower than the release speed in the release-controlling part A, optionally together with (3) a release-controlling part C capable of controlling the release speed of the active ingredient at a definite level which is higher than the release speed in the release-controlling part B, if necessary, is characterized in that the release of the active ingredient in the release-controlling part B is first made followed by the release of the active ingredient in the release-controlling part A (in the case of having the release-controlling part C, the release of the active ingredient in the release-controlling part C is first made followed by the release of the active ingredient in the release-controlling part B). Thus, a core tablet prepared from R-lansoprazole 113, lactose 303, corn starch 50, low-substituted hydroxypropyl cellulose (L-HPC) 35 mg was layered with an outer layer material coating R-lansoprazole 33.8, hydroxypropyl Me cellulose (Metolose 65SH-4000) 116.3 mg to obtain a controlled-release tablet.

IT 635751-21-2P 635751-22-3P 635751-23-4P
635751-24-5P 635751-25-6P 635751-26-7P
635751-27-8P 635751-28-9P 635751-29-0P
635751-30-3P 635751-31-4P 635751-32-5P
635751-33-6P 635751-34-7P 635751-35-8P
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635751-66-5P 635751-67-6P 635751-68-7P
635751-69-8P 635751-70-1P 635751-71-2P
635751-72-3P 635751-73-4P, Ethyl 2-[[[5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl)methyl]sulfinyl-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl carbonate 635751-75-6P,
2-[[[5-Methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl)methyl]sulfinyl-1H-benzimidazol-1-yl]carbonyl](phenyl)amino]ethyl acetate
635751-77-8P 635751-79-0P, Ethyl 2-[[[2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridyl)methyl]sulfinyl-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl carbonate 635751-80-3P,

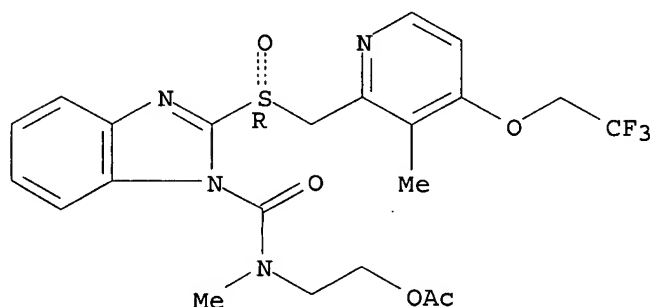
2-[[[2-[[[4-(3-Methoxypropoxy)-3-methyl-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl](phenyl)amino]ethyl acetate
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 635751-85-8P 635751-86-9P 635752-05-5P
 635752-06-6P 635752-07-7P 635752-08-8P,
 2-[[[2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl](phenyl)amino]ethyl acetate
 765942-20-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of proton pump inhibitors for controlled-release compns.)

RN 635751-21-2 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

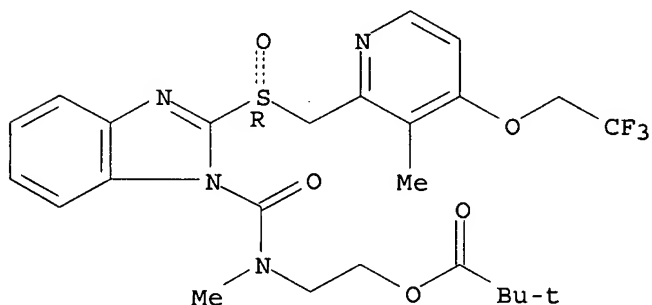
Absolute stereochemistry.



RN 635751-22-3 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

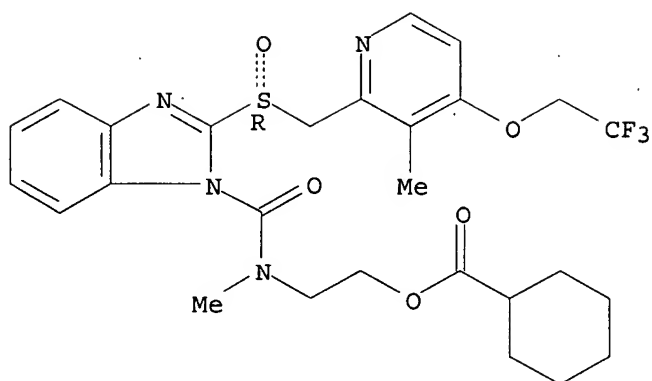


RN 635751-23-4 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

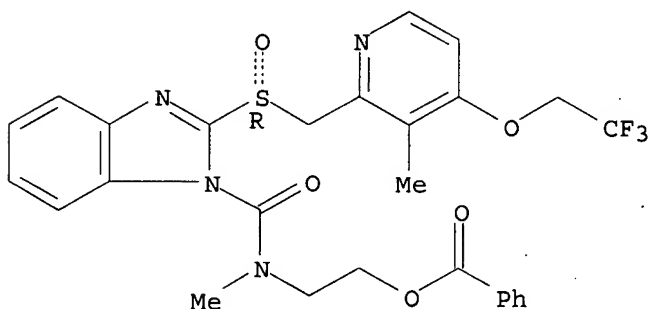
10/517,633



RN 635751-24-5 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-[2-(benzoyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI)
(CA INDEX NAME)

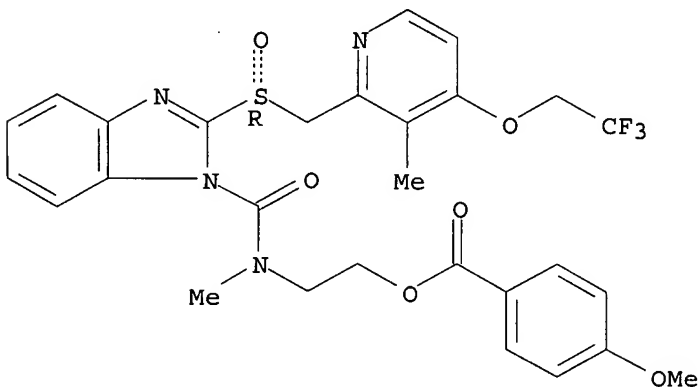
Absolute stereochemistry.



RN 635751-25-6 CAPLUS

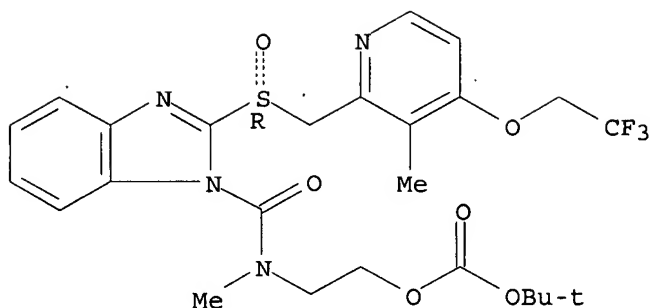
CN Benzoic acid, 4-methoxy-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 635751-26-7 CAPLUS

CN Benzoic acid, 3-chloro-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:780521 CAPLUS

DOCUMENT NUMBER: 141:282815

TITLE: Drug composition having active ingredient adhered at high concentration to spherical core

INVENTOR(S): Yoneyama, Shuji; Bando, Hiroto

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 237 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080439	A1	20040923	WO 2004-JP3075	20040310
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2518780	A1	20040923	CA 2004-2518780	20040310
JP 2004292442	A	20041021	JP 2004-66456	20040310
EP 1602362	A1	20051207	EP 2004-719076	20040310
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK			
US 2006159760	A1	20060720	US 2005-548504	20050909
PRIORITY APPLN. INFO.:			JP 2003-66344	A 20030312
			WO 2004-JP3075	W 20040310

OTHER SOURCE(S): MARPAT 141:282815

AB Granule, fine particle or tablet of excellent leaching property, comprising a drug active ingredient in high content realized by forming a layer containing drug active ingredient on core particles through a combination of a method of dispersing and adhering an active ingredient while spraying or adding a binder with a method of spraying or adding a solution or suspension wherein an active ingredient and a binder are contained so as to effect adhesion. Further, there are provided a drug composition containing such a granule, fine particle or tablet and a process for

producing the same. Thus, original granules of crystalline cellulose were prepared by spraying a composition (R)-lansoprazole (I), crystalline cellulose, magnesium carbonate, and hydroxypropyl cellulose to crystalline cellulose. The obtained granules were further coated with a 1st coating material containing I, magnesium carbonate, sucrose, and hydroxypropyl cellulose, a 2nd coating material containing hydroxypropyl Me cellulose, talc, and titanium oxide, and then an enteric coating material containing methacrylic acid copolymer, talc, macrogol, titanium oxide, and polysorbate 80, or another enteric coating material containing different methacrylic acid copolymers, talc, and tri-Et citrate. The granules with different enteric coatings were mixed and filled in capsules.

IT 635751-21-2P 635751-22-3P 635751-23-4P
 635751-24-5P 635751-25-6P 635751-26-7P
 635751-27-8P 635751-28-9P 635751-29-0P
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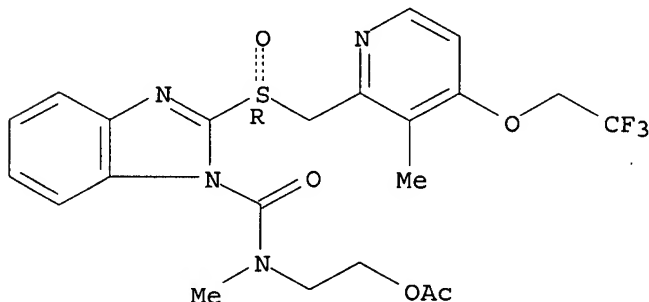
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of drug composition containing proton pump inhibitors adhered at high concentration to spherical core)

RN 635751-21-2 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

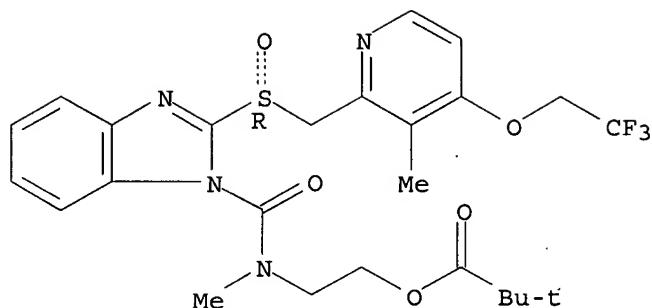


RN 635751-22-3 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

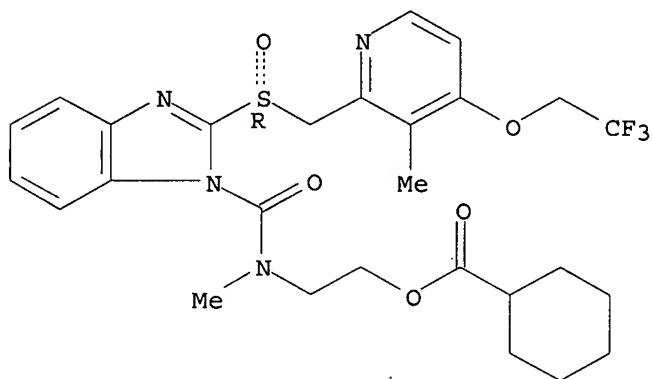
10/517,633



RN 635751-23-4 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

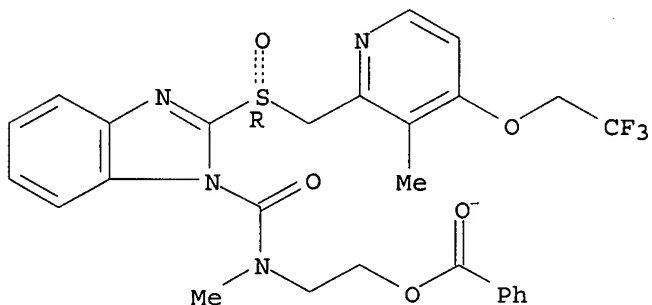
Absolute stereochemistry.



RN 635751-24-5 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-[2-(benzoyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 635751-25-6 CAPLUS

CN Benzoic acid, 4-methoxy-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Chemical structure of a substituted benzimidazole derivative. The benzimidazole core has a trifluoromethoxy group at position 2, a methyl group at position 4, and a (4-methoxyphenyl)carbamoyl group at position 5. The nitrogen at position 3 is substituted with a (4-methoxyphenyl)carbamoyl group. The nitrogen at position 1 is substituted with a (4-methoxyphenyl)carbamoyl group.

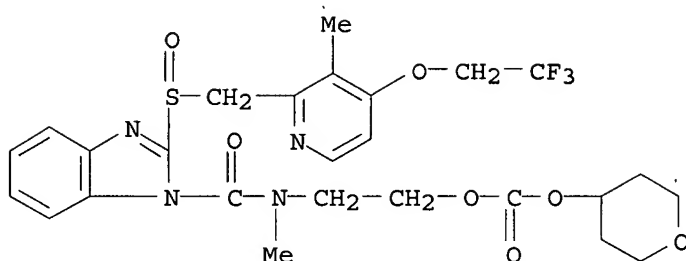
CN Benzoic acid, 3-chloro-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

COc1cc(C)nc(CS(=O)R)c1CCOC(=O)c1ccc(Cl)cc1

CN Benzoic acid, 3,4-difluoro-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

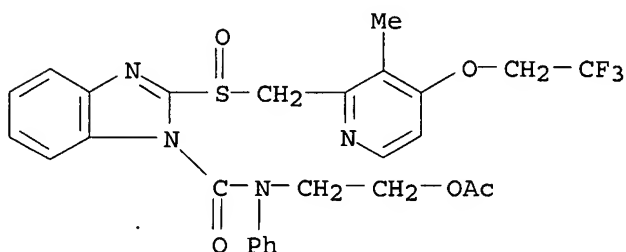
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10/517,633



RN 635752-08-8 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:354765 CAPLUS

DOCUMENT NUMBER: 140:380603

TITLE: Controlled release preparation containing proton pump inhibitors

INVENTOR(S): Akiyama, Yohko; Kurasawa, Takashi; Bando, Hiroto; Nagahara, Naoki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 371 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

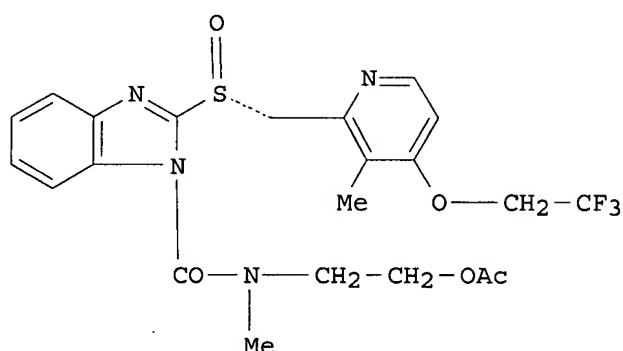
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035020	A2	20040429	WO 2003-JP13155	20031015
WO 2004035020	A3	20040624		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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AU 2003272098	A1	20040504	AU 2003-272098	20031015

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JP 2004292427	A	20041021	JP 2003-354900	20031015
EP 1553929	A2	20050720	EP 2003-754116	20031015
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BR 2003015142	A	20050809	BR 2003-15142	20031015
CN 1713897	A	20051228	CN 2003-80103935	20031015
IN 2005KN00604	A	20060616	IN 2005-KN604	20050408
US 2006013868	A1	20060119	US 2005-531069	20050411
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PRIORITY APPLN. INFO.:			JP 2002-301876	A 20021016
			JP 2003-66336	A 20030312
			WO 2003-JP13155	W 20031015
OTHER SOURCE(S):			MARPAT 140:380603	
GI				



I

AB A controlled release preparation wherein the release of active ingredient is controlled, which releases an active ingredient for an extended period of time by staying or slowly migrating in the gastrointestinal tract, is provided by means such as capsulating a tablet, granule or fine granule wherein the release of active ingredient is controlled and a gel-forming polymer. Said tablet, granule or fine granule has a release-controlled coating-layer formed on a core particle containing an active ingredient. Many compds. such as I were prepared and formulations given, e.g., granules containing sucrose-starch spheres, R-lansoprazole, Mg carbonate, purified sucrose, corn starch, low-substituted hydroxypropyl cellulose, and hydroxypropyl cellulose.

IT 635751-21-2P 635751-22-3P 635751-23-4P
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635751-27-8P 635751-28-9P 635751-29-0P
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635752-08-8P
RL: SPN (Synthetic preparation); PREP (Preparation)

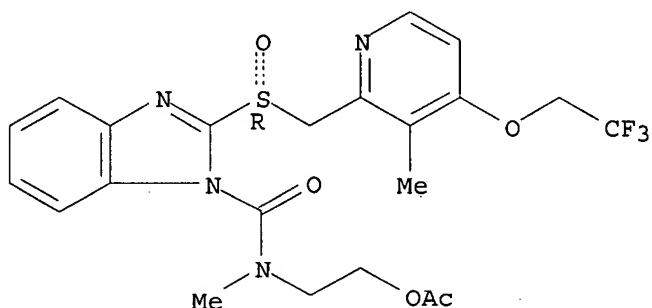
10/517,633

(controlled release preparation containing proton pump inhibitors)

RN 635751-21-2 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

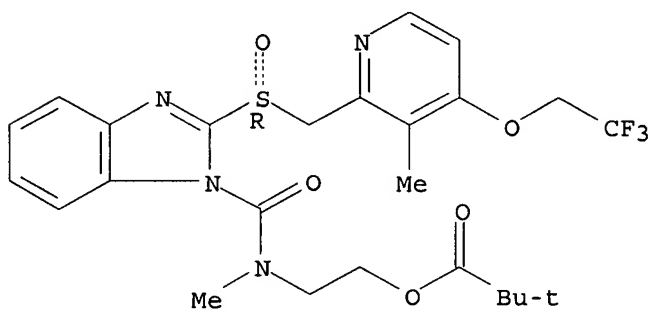
Absolute stereochemistry.



RN 635751-22-3 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

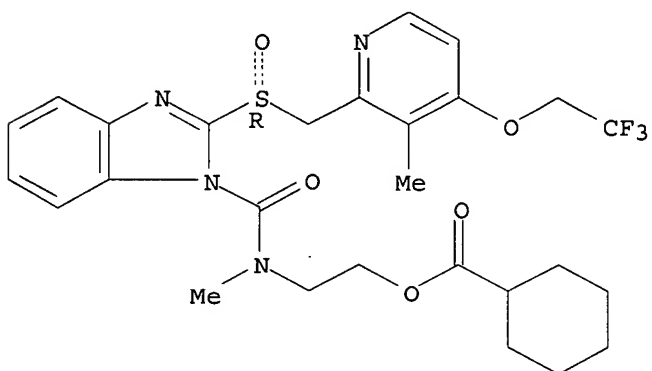
Absolute stereochemistry.



RN 635751-23-4 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

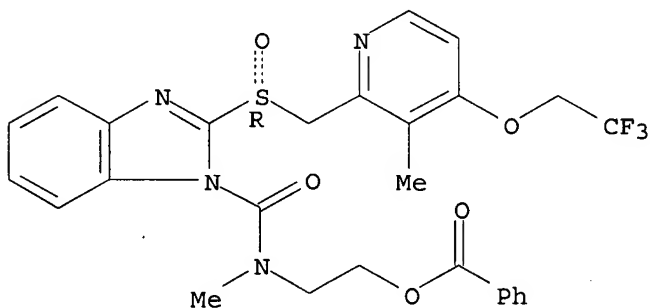


10/517,633

RN 635751-24-5 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-[2-(benzoyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI)
(CA INDEX NAME)

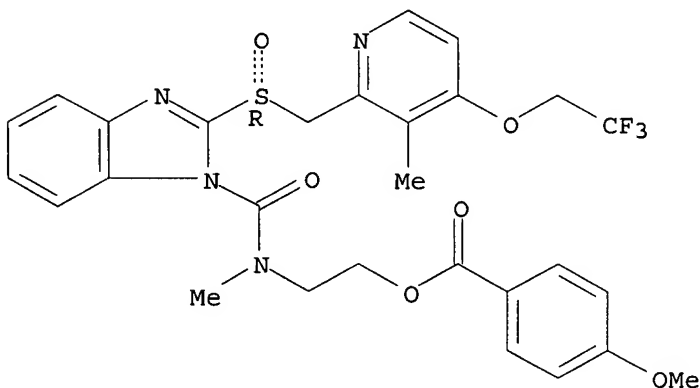
Absolute stereochemistry.



RN 635751-25-6 CAPLUS

CN Benzoic acid, 4-methoxy-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

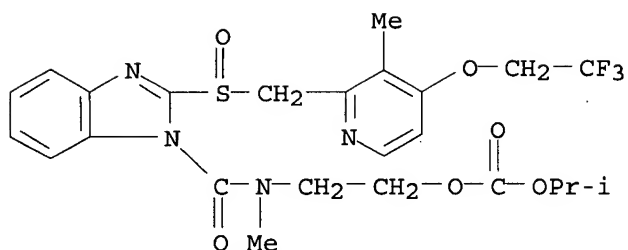


RN 635751-26-7 CAPLUS

CN Benzoic acid, 3-chloro-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

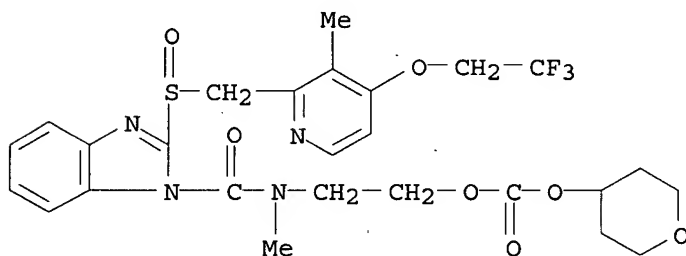
Absolute stereochemistry.

10/517,633



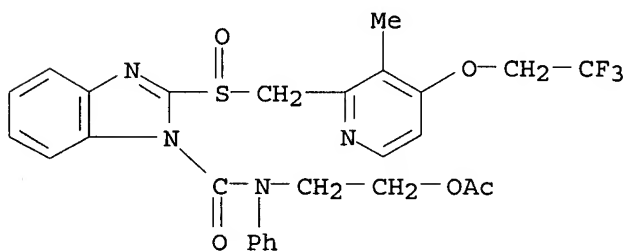
RN 635752-07-7 CAPLUS

CN Carbonic acid, 2-[methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl tetrahydro-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)



RN 635752-08-8 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-N-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:1006959 CAPLUS

DOCUMENT NUMBER: 140:42180

TITLE: Preparation of nitrogenous heterocycle prodrugs having N-(2-acyloxyethyl)-N-methylcarbamoyl groups

INVENTOR(S): Kamiyama, Keiji; Banno, Hiroshi; Sato, Fumihiko; Hasuoka, Atsushi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.

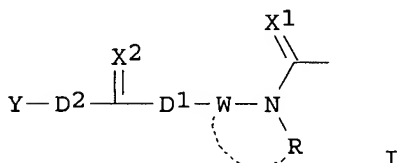
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DATE

APPLICATION NO.

DATE

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EP 1514870	A1	20050316	EP 2003-733425	20030613
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US 2006293371	A1	20061228	US 2005-517847	20050624
PRIORITY APPLN. INFO.:			JP 2002-175086	A 20020614
			JP 2003-41085	A 20030219
			WO 2003-JP7545	W 20030613
OTHER SOURCE(S):	MARPAT 140:42180			
GI				



AB Disclosed is a compound having a group represented by the formula (I) [X1, X2 = O, S; W = (un)substituted bivalent hydrocarbon chain, -W1-Z-W2-; wherein W1, W2 = bivalent hydrocarbon chain, a bond; Z = (un)substituted bivalent hydrocarbon ring or heterocyclic ring, O, S, SO, SO2, (un)substituted NH; provided that when Z = O, S, SO, SO2, or (un)substituted NH, then W1, W2 = bivalent hydrocarbon chain; R = H, (un)substituted hydrocarbon group or heterocyclic ring; or R is not H, R may be linked to W; D1, D2 = a bond, O, S, (un)substituted NH; Y = (un)substituted hydrocarbyl or heterocyclyl] as a modifying group to be eliminated from a prodrug. It enables prodrug development based on the modification of a nitrogenous heterocycle, etc., with N-(2-acyloxyethyl)-N-methylcarbamoyl groups. For example, 3'-azido-3'-deoxythymidine (zidovudine), N-cyano-N'-methyl-N''-[2-((4-methyl-5-imidazolyl)-methylthio)ethyl]guanidine (cimetidine), (R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazole [(R)-(+)-lansoprazole], 2-[[[(3,5-Dimethyl-4-methoxy-2-pyridyl)methyl]sulfinyl]-5-methoxy-1H-benzimidazole (omeprazole), 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridyl]methyl]sulfinyl]benzimidazole (rabeprazole), 5-(difluoromethoxy)-2-[[[(3,4-dimethoxy-2-pyridyl)methyl]sulfinyl]-1H-benzimidazole (pantoprazole), or 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-Imidazo[4,5-b]pyridine (tenatoprazole) were modified by one of CONMeCH2CH2OCO2Et, CONMeCH2CH2OAc, and CONMeCH2CH2OCO2-(tetrahydropyranyl-4-yl) groups.

IT 635751-21-2P 635751-33-6P 635751-36-9P

635751-53-0P 635751-66-5P 635751-77-8P
635751-78-9P 635751-79-0P 635751-81-4P
635751-82-5P 635752-07-7P 636565-79-2P

(preparation of nitrogenous heterocycle prodrugs having N-(acyloxyethyl)-N-methylcarbamoyl groups)

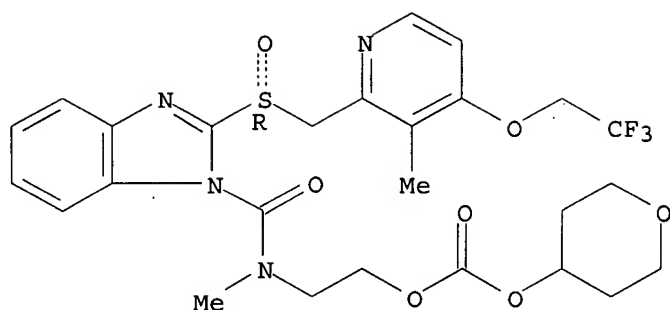
CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

CN Carbonic acid, ethyl 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

CN Carbonic acid, 2-[methyl[[2-[(R)-[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl tetrahydro-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)

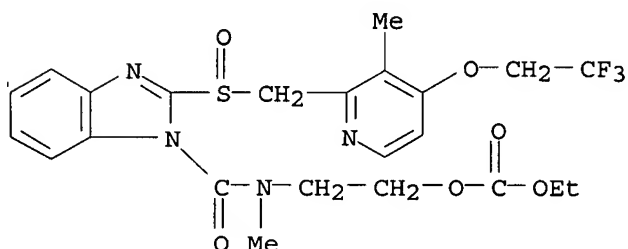
Absolute stereochemistry.

10/517,633



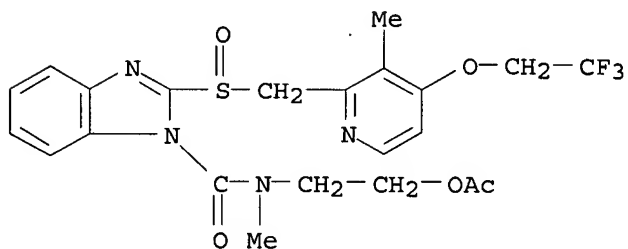
RN 635751-53-0 CAPLUS

CN Carbonic acid, ethyl 2-[methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)



RN 635751-66-5 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-N-methyl-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

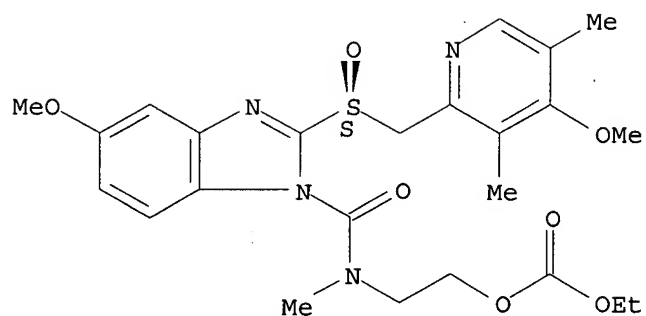


RN 635751-77-8 CAPLUS

CN Carbonic acid, ethyl 2-[[[5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]methylamino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

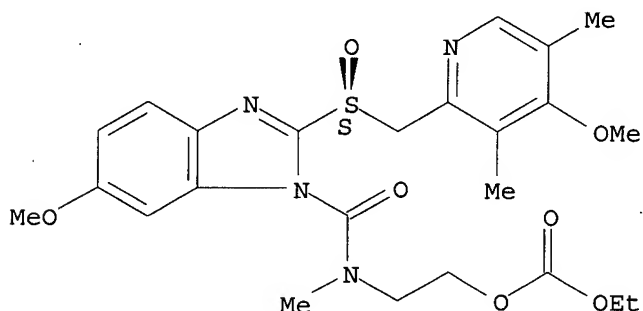
10/517,633



RN 635751-78-9 CAPLUS

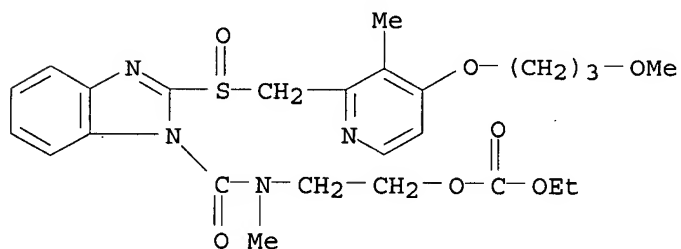
CN Carbonic acid, ethyl 2-[[[6-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]methylamino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 635751-79-0 CAPLUS

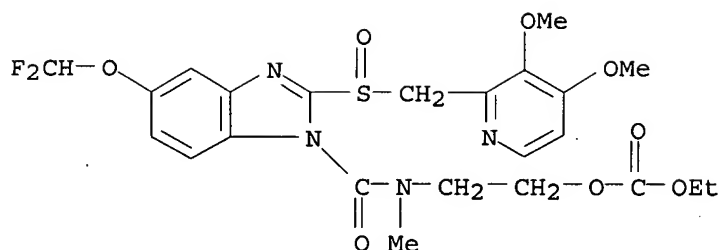
CN Carbonic acid, ethyl 2-[[[2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]methylamino]ethyl ester (9CI) (CA INDEX NAME)



RN 635751-81-4 CAPLUS

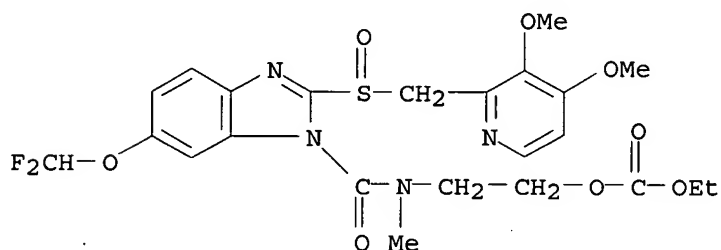
CN Carbonic acid, 2-[[[5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]methylamino]ethyl ester (9CI) (CA INDEX NAME)

10/517,633



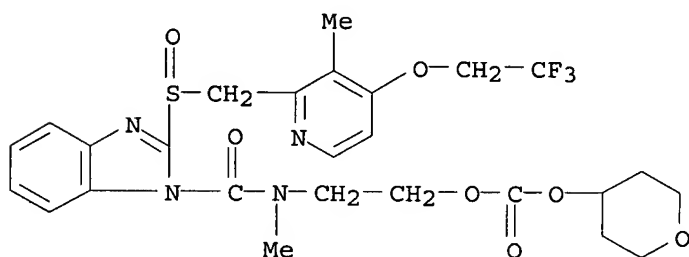
RN 635751-82-5 CAPLUS

CN Carbonic acid, 2-[[[6-(difluoromethoxy)-2-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]methylamino]ethyl ester (9CI) (CA INDEX NAME)



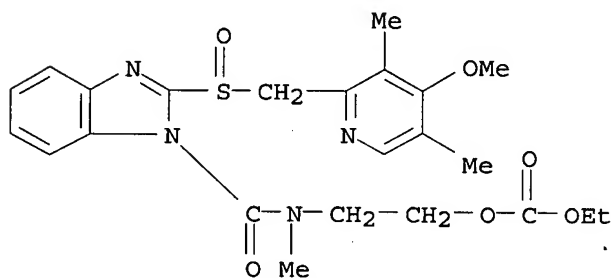
RN 635752-07-7 CAPLUS

CN Carbonic acid, 2-[methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl tetrahydro-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)



RN 636565-79-2 CAPLUS

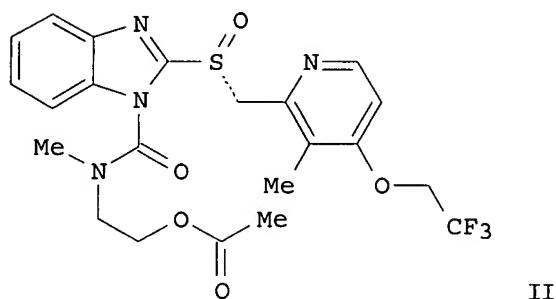
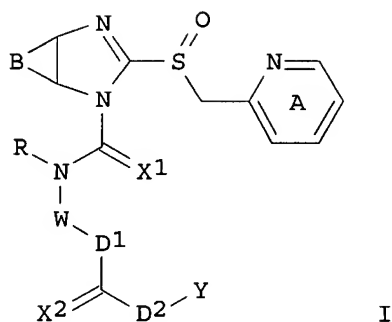
CN Carbonic acid, ethyl 2-[[[2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]methylamino]ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2003:1006770 CAPLUS
 DOCUMENT NUMBER: 140:42178
 TITLE: Preparation of prodrugs of benzimidazoles and analogs as proton pump inhibitors for the treatment of peptic ulcers
 INVENTOR(S): Kamiyama, Keiji; Banno, Hiroshi; Sato, Fumihiko
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 216 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003105845	A1	20031224	WO 2003-JP7546	20030613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1513527	A1	20050316	EP 2003-733426	20030613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003011801	A	20050412	BR 2003-11801	20030613
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IN 2005KN00033	A	20060526	IN 2005-KN33	20050103
NO 2005000141	A	20050127	NO 2005-141	20050111
PRIORITY APPLN. INFO.:			JP 2002-175086	A 20020614
			JP 2003-41085	A 20030219
			WO 2003-JP7546	W 20030613
OTHER SOURCE(S):	MARPAT 140:42178			
GI				



- AB Title compds. I [wherein A = (un)substituted pyridine ring; B = (un)substituted benzene or monocyclic aromatic heterocycle; X1 and X2 = O or S; W = W1ZW2; W1 and W2 = independently divalent hydrocarbon chain or a bond; Z = (un)substituted divalent hydrocarbon ring, divalent heterocyclic ring, O, SOO-2, or NE; E = H, alkanoyl, (ar)alkoxycarbonyl, thiocarbamoyl, alkylsulfinyl, alkylsulfonyl, (alkyl)sulfamoyl, arylsulfamoyl, arylsulfinyl, arylsulfonyl, arylcarbonyl, or (un)substituted hydrocarbon, heterocyclyl, or carbamoyl; R = (un)substituted hydrocarbon or heterocyclyl; R and W may be bonded to each other; D1 and D2 = independently a bond, O, S, or NR1; R1 = H or (un)substituted hydrocarbon; Y = (un)substituted hydrocarbon or heterocyclyl; with provisos; and salts thereof] were prepared For example, reaction of bis(trichloromethyl)carbonate with 2-(methylamino)ethyl acetate•HCl in the presence of pyridine in THF, followed by coupling with (R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazole using a catalytic amount of 4-dimethylaminopyridine and TEA in THF, gave II. Compds. of the invention are proton pump inhibitor prodrugs, which show superior antiulcer activity, gastric acid secretion inhibitory action, mucosa-protecting action, and anti-Helicobacter pylori action (no data).
- IT 635751-21-2P, 2-[N-Methyl[[[R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl acetate 635751-22-3P, 2-[N-Methyl[[[R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl trimethylacetate 635751-23-4P, 2-[N-Methyl[[[R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl cyclohexanecarboxylate 635751-24-5P, 2-[N-Methyl[[[R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl benzoate 635751-25-6P, 2-[N-Methyl[[[R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 4-methoxybenzoate 635751-26-7P, 2-[N-Methyl[[[R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl

3-chlorobenzoate 635751-27-8P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 3,4-difluorobenzoate 635751-28-9P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 4-trifluoromethoxybenzoate 635751-29-0P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 4-fluorobenzoate 635751-30-3P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 3,4,5-trimethoxybenzoate 635751-31-4P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 2-pyridinecarboxylate 635751-32-5P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl methoxyacetate 635751-33-6P, Ethyl 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-34-7P, Isopropyl 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-35-8P, Benzyl 2-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-36-9P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl tetrahydropyran-4-yl carbonate 635751-37-0P, 2-Methoxyethyl 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-38-1P, 2-[N-Ethyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl acetate 635751-39-2P, 2-[N-Isopropyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl acetate 635751-40-5P, Ethyl 2-[N-isopropyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-41-6P, 2-[N-Cyclohexyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl acetate 635751-42-7P, 2-[N-Cyclohexyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ethyl carbonate 635751-43-8P, 2-[[[[R]-2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl](phenyl)amino]ethyl acetate 635751-45-0P, tert-Butyl 2-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-46-1P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]benzyl acetate 635751-47-2P 635751-49-4P 635751-50-7P, 2-[[[[5-Methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl benzoate 635751-52-9P, 3-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]propyl benzoate 635751-53-0P, Ethyl 2-[N-Methyl[[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-54-1P, Ethyl 2-[N-methyl[[[S]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-59-6P, 4-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]butyl acetate 635751-60-9P, Ethyl 4-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-

pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]butyl carbonate 635751-61-0P, Ethyl 3-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]propyl carbonate 635751-62-1P, 3-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]propyl acetate 635751-63-2P 635751-64-3P 635751-66-5P, 2-[N-Methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl acetate 635751-67-6P 635751-68-7P, 3-Methoxypropyl 2-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-69-8P 635751-70-1P 635751-71-2P, Ethyl 2-[2-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethoxy]ethyl carbonate 635751-72-3P, Ethyl 2-[N-methyl[[2-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethoxy]carbonyl]amino]ethyl carbonate 635751-73-4P, Ethyl 2-[[[5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl carbonate 635751-75-6P, 2-[[[5-Methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl](phenyl)amino]ethyl acetate 635751-77-8P, Ethyl 2-[[[S]-5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl carbonate 635751-79-0P, Ethyl 2-[[[2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl carbonate 635751-80-3P, 2-[[[2-[[[4-(3-Methoxypropoxy)-3-methyl-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl](phenyl)amino]ethyl acetate 635751-81-4P, 2-[[[5-(Difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl ethyl carbonate 635751-83-6P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 1-methylpiperidine-4-carboxylate 635751-84-7P 635751-85-8P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 1-methylpiperidin-4-yl carbonate 635751-86-9P 635752-05-5P, 2-[N-Methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl benzoate 635752-06-6P, Isopropyl 2-[N-Methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635752-07-7P, 2-[N-Methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl tetrahydropyran-4-yl carbonate 635752-08-8P, 2-[[[2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl](phenyl)amino]ethyl acetate

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiulcer agent; preparation of prodrugs containing benzimidazoles and analogs

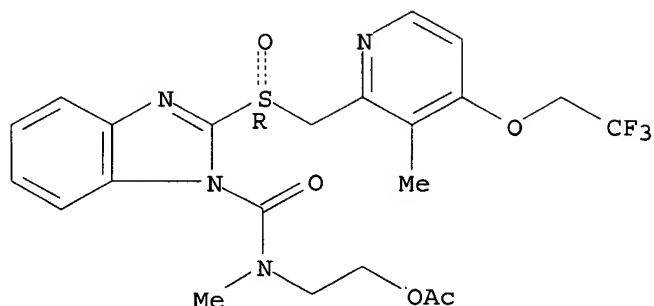
as proton pump inhibitors for treatment of peptic ulcers)

RN 635751-21-2 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl)sulfinyl]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

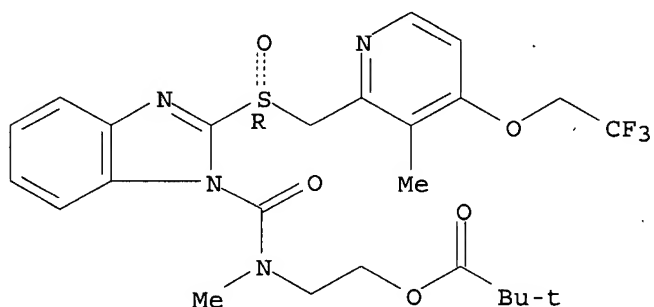
10/517,633



RN 635751-22-3 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

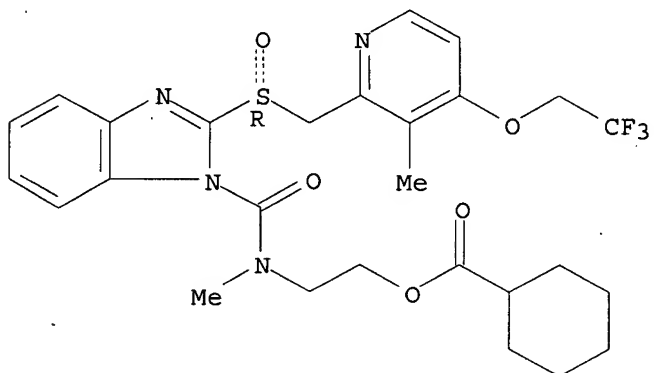
Absolute stereochemistry.



RN 635751-23-4 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

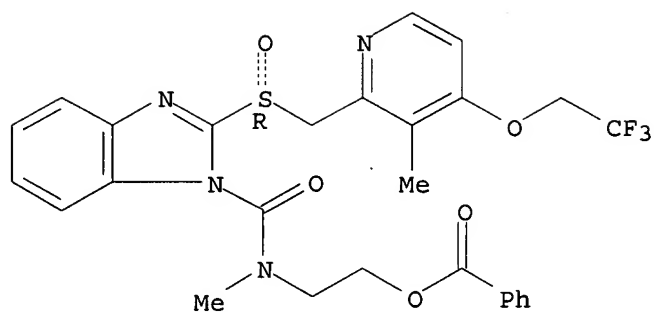


RN 635751-24-5 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-[2-(benzoyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

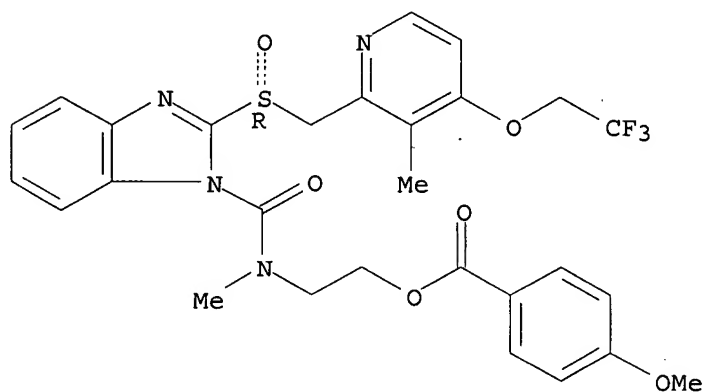
10/517,633



RN 635751-25-6 CAPLUS

CN Benzoic acid, 4-methoxy-, 2-[methyl[[2-[(R)-[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

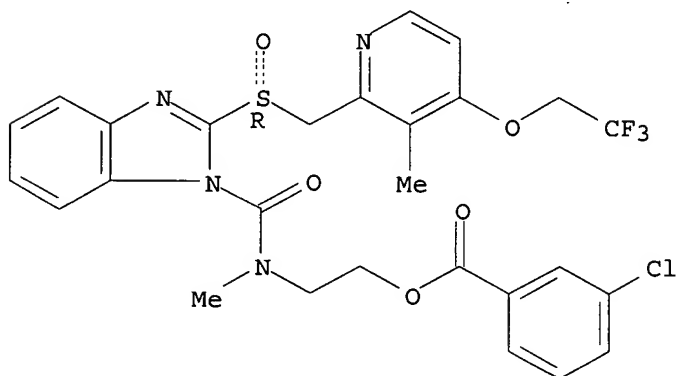
Absolute stereochemistry.



RN 635751-26-7 CAPLUS

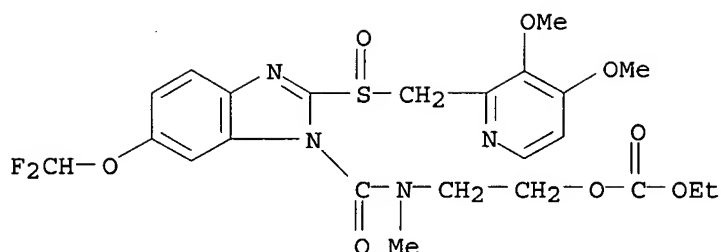
CN Benzoic acid, 3-chloro-, 2-[methyl[[2-[(R)-[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 635751-27-8 CAPLUS

CN Benzoic acid, 3,4-difluoro-, 2-[methyl[[2-[(R)-[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:164175 CAPLUS

DOCUMENT NUMBER: 120:164175

TITLE: Preparation of pyridine compound and medicinal use thereof

INVENTOR(S): Kawakita, Takeshi; Yamaguchi, Yuko; Haga, Keiichiro; Ikeda, Yoshifumi

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

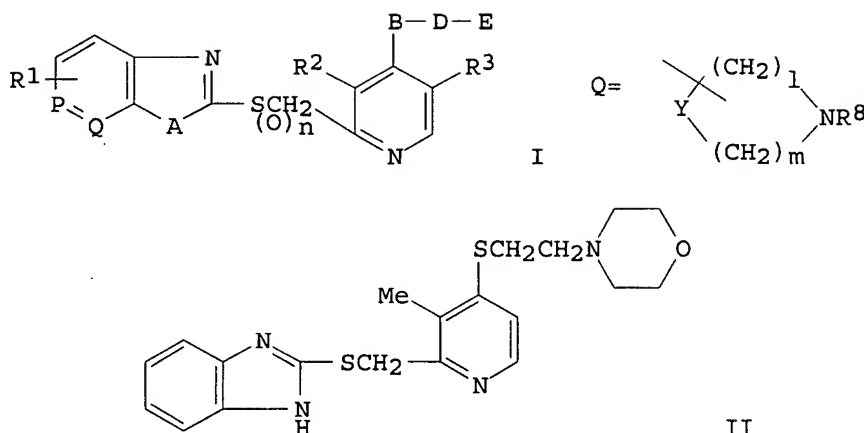
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9324480	A1	19931209	WO 1993-JP732	19930531
W: CA, HU, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 644191	A1	19950322	EP 1993-910422	19930531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5504082	A	19960402	US 1994-352183	19941201
US 5616581	A	19970401	US 1995-460666	19950602
PRIORITY APPLN. INFO.:			JP 1992-167017	A 19920601
			WO 1993-JP732	W 19930531
			JP 1993-272494	A 19931029
			US 1994-352183	A3 19941201

OTHER SOURCE(S): MARPAT 120:164175

GI



AB (Benzazolythiomethyl)pyridine derivs. [I; R1 = H, halo, alkyl, alkoxy, HO, alkoxy carbonyl, CO2H, haloalkyl, NO2, NH2, mono- or dialkylamino, alkoxy carbonylalkylamino, carboxyalkylamino; R2, R3 = H, halo, alkyl; P:Q = CH:CH, N:CH, CH:N; A = O, S, or NR4 (wherein R4 = H, alkyl, etc.); n = 0, 1 or 2; B = O, S(O)p (where p = 0, 1 or 2), or NR5 (wherein R5 = H, or alkyl); D = a single bond, (un)substituted alkylene, oxoalkylene; E = alkoxyalkyl, NR6R7 (wherein R6, R7 = H, alkyl, cycloalkyl, acyl, alkoxy carbonyl, CONH2, etc.), Q1 (wherein R8 = H, alkyl, acyl, carboxyalkyl, phenylalkyl, etc.; Y = CH2, O, S; l, m = 0, 1-3)] or a pharmaceutical acceptable salt thereof are prepared I have the antibacterial effect against *Helicobacter pylori*, antiulcer effect, and the effects of protecting gastrointestinal cells and inhibiting the recrudescence and recurrence of ulcer. Thus, chlorination of 2-hydroxymethyl-3-methyl-4-(2-morpholinoethylthio)pyridine by SOCl2 and condensation of the resulting 2-chloromethyl derivative with 2-mercaptobenzimidazole in aqueous NaOH and EtOH gave a (benzimidazolylthiomethyl)pyridine derivative (II). II in vitro showed min. inhibitory concentration of $\leq 0.006 \mu\text{g/mL}$ against *H. pylori* and in vivo at 30 mg/kg p.o. inhibited 55% the 0.3N HCl-containing aspirin-induced stomach ulcer in rats.

IT 153284-60-7P 153284-62-9P

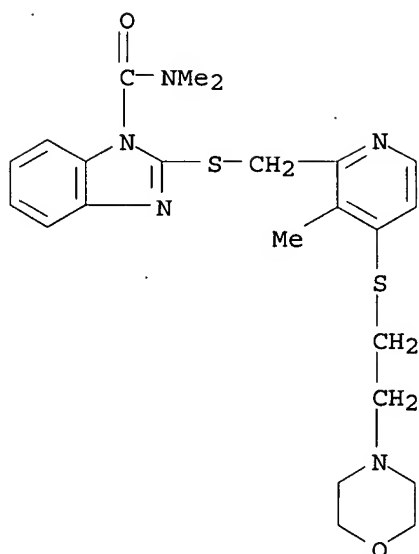
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as ulcer inhibitor and antibacterial agent against *Helicobacter pylori*)

RN 153284-60-7 CAPLUS

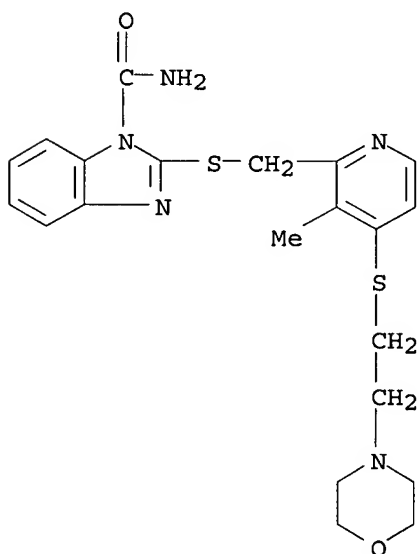
CN 1H-Benzimidazole-1-carboxamide, N,N-dimethyl-2-[[[3-methyl-4-[[2-(4-morpholinyl)ethyl]thio]-2-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

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RN 153284-62-9 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, 2-[[[3-methyl-4-[[2-(4-morpholinyl)ethyl]thio]-2-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1984:630546 CAPLUS

DOCUMENT NUMBER: 101:230546

TITLE: Benzimidazole derivatives and their use

INVENTOR(S): Braendstroem, Arne Elof; Carlsson, Stig Aake Ingemar; Kaelsson, Britt Inger Monica; Lindberg, Per Lennart

PATENT ASSIGNEE(S): Aktiebolag Haessle, Swed.

SOURCE: Ger. Offen., 109 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

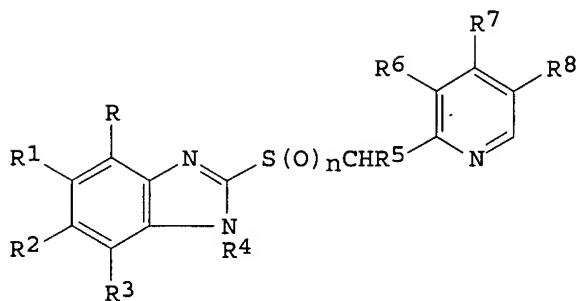
FAMILY ACC. NUM. COUNT: 1

10/517,633

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3404610	A1	19840816	DE 1984-3404610	19840209
SE 8400688	A	19840812	SE 1984-688	19840209
BE 898880	A1	19840810	BE 1984-212366	19840210
DK 8400591	A	19840812	DK 1984-591	19840210
FI 8400547	A	19840812	FI 1984-547	19840210
NO 8400504	A	19840813	NO 1984-504	19840210
GB 2134523	A	19840815	GB 1984-3540	19840210
GB 2134523	B	19870812		
AU 8424456	A	19840816	AU 1984-24456	19840210
AU 578891	B2	19881110		
NL 8400446	A	19840903	NL 1984-446	19840210
ZA 8401011	A	19840926	ZA 1984-1011	19840210
FR 2543551	A1	19841005	FR 1984-2093	19840210
FR 2543551	B1	19870821		
JP 59181277	A	19841015	JP 1984-22067	19840210
AT 8400435	A	19880315	AT 1984-435	19840210
AT 386825	B	19881025		
CH 666892	A5	19880831	CH 1984-660	19840210
GB 2174988	A	19861119	GB 1986-10790	19860502
GB 2174988	B	19870826		
SE 8700498	A	19870210	SE 1987-498	19870210
SE 8700499	A	19870210	SE 1987-499	19870210
NO 8802001	A	19840813	NO 1988-2001	19880506
US 5039806	A	19910813	US 1989-408719	19890918
PRIORITY APPLN. INFO.:			SE 1983-736	A 19830211
			US 1984-578418	B1 19840209
			GB 1984-3540	A3 19840210
			NO 1984-504	A1 19840210
			US 1986-884863	B2 19860716
			US 1987-21992	B1 19870305
			US 1988-266330	B1 19881101
			US 1989-379703	B3 19890712

OTHER SOURCE(S): MARPAT 101:230546
GI



AB Pyridinylmethylthio(or sulfinyl)benzimidazoles I [R - R3 = H, halo, cyano, F3C, NO2, CHO, modified CHO, alkyl, alkoxy, acyl, acyloxy, aryl, aryloxy, alkylthio, alkylsulfinyl; R4 = H, alkenyloxy, alkynyloxy, oxacycloalkyl, (un)substituted alkyl, alkoxy; n = 0,1] and their carbocyclic and heterocyclic fused-ring derivs. were prepared Thus, 4-(allyloxy)-2,3,5-trimethylpyridine N-oxide was rearranged by heating in Ac2O to give 4-(allyloxy)-3,5-dimethyl-2-pyridinemethanol. This was converted to the 2-(chloromethyl) derivative by SOCl2 and condensed with 4,5,6,7-tetramethyl-1H-benzimidazole-2-thiol to give I (R - R3 = R6 = R8 = Me, R4 = R5 = H, R7 =

10/517,633

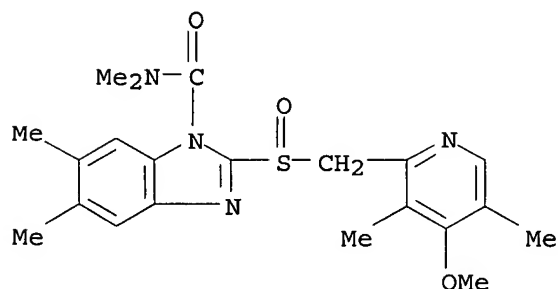
H₂C:CHCH₂O). I are effective in vitro inhibitors of secretion by rabbit gastric mucosa with -log molar IC₅₀ 4.5-6.7.

IT 92894-17-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and stomach antisecretory activity of)

RN 92894-17-2 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, 2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-N,N,5,6-tetramethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1982:205422 CAPLUS

DOCUMENT NUMBER: 96:205422

TITLE: Pharmaceutical use of benzimidazoles

INVENTOR(S): Ruwart, Mary Jean

PATENT ASSIGNEE(S): Upjohn Co., USA

SOURCE: Eur. Pat. Appl., 26 pp.

CODEN: EPXXDW

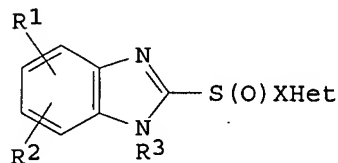
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 45200	A1	19820203	EP 1981-303416	19810724
EP 45200	B1	19880302		
R: BE, CH, DE, FR, GB, IT, NL, SE				
US 4359465	A	19821116	US 1980-173233	19800728
JP 57053406	A	19820330	JP 1981-118378	19810728
JP 01060008	B	19891220		
PRIORITY APPLN. INFO.:			US 1980-173233	A 19800728
OTHER SOURCE(S):	MARPAT 96:205422			
GI				



AB Oral pharmaceutical compns. for prevention or treatment of nongastric acid-induced, nontraumatically-induced, nonneoplastic gastrointestinal inflammatory disease in a mammal comprise the title compds. I [R₁ and R₂ = H, C₁-4 alkyl, halogen, CN, CO₂H, etc.; R₃ = H, C₁-4 alkyl, alkylcarbonyl, CONH₂, etc.; X = alkylene, Het = heterocyclic, or XHet taken together =

10/517,633

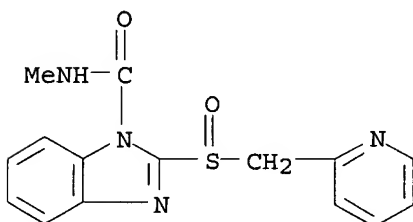
R4R5R6C6H2CHR7 (R4, R5, and R6 = H, Me, MeO, EtO, etc.; R7 = H, Me, or Et] and their salts. The ED50 for inhibition of gastric acid secretion in rats fasted with restraint for 36 h by timoprazole (I, R1, R2, R3 = H, X = CH2, Het = 2-pyridyl) (II) [57237-97-5] in a vehicle containing Emulphor 10, EtOH 10, and H2O 80% was 12 mg/mL. A batch of 10,000 tablets each containing 10 mg II were prepared

IT 60524-95-0 60536-43-8

RL: BIOL (Biological study)
(gastrointestinal inflammation inhibitor)

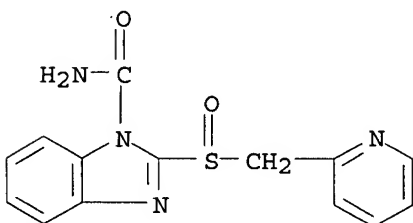
RN 60524-95-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)sulfinyl]-
(9CI) (CA INDEX NAME)



RN 60536-43-8 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, 2-[(2-pyridinylmethyl)sulfinyl]- (9CI)
(CA INDEX NAME)



L4 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1980:41943 CAPLUS

DOCUMENT NUMBER: 92:41943

TITLE: Benzimidazole derivatives, their salts, and optical isomers

PATENT ASSIGNEE(S): Aktiebolag Hassle, Swed.

SOURCE: Austrian, 9 pp. Division of Austrian 337,697.

CODEN: AUXXAK

DOCUMENT TYPE: Patent

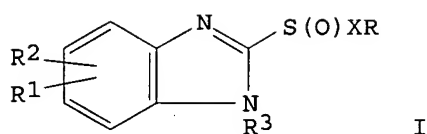
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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AT 351524	B	19790725	AT 1976-7522	19761011
AT 7607522	A	19790115		
AT 337697	B	19770711	AT 1975-8380	19751104
AT 7508380	A	19761115		
PRIORITY APPLN. INFO.:			AT 1975-8380	A 19751104
			US 1975-630916	A 19751111

GI



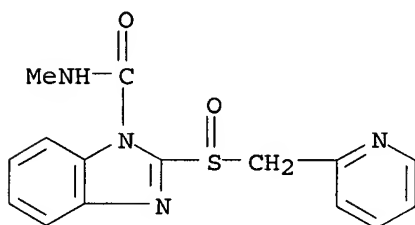
AB The benzimidazoles I (R = heterocyclyl, e.g. 2-pyridyl; R1, R2 = H, alkyl, halo, CN, CO2, OH, carboxyallyl, carbamoyl, hydroxyallyl, carboalkoxy, carboalkoxyallyl, carbamoyl, carbamoylalkyl, alkoxy, hydroxyalkyl, F3C, acyl; R3 = H, alkyl, acyl, carboalkoxy, acylmethyl, alkoxy carbonylmethyl, alkylsulfonyl; X = alkylene) were prepared. Thus, 2-(methylsulfinyl)benzimidazole Li salt was treated with 2-chloropyridine to give 2-(2-pyridylmethylsulfinyl)benzimidazole (II). At 10 mg/kg II inhibited stomach gastric acid secretion.

IT 60524-95-0P 60536-43-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

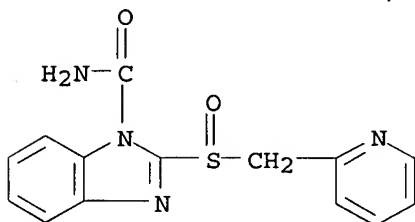
RN 60524-95-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)sulfinyl]-
(9CI) (CA INDEX NAME)



RN 60536-43-8 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, 2-[(2-pyridinylmethyl)sulfinyl]- (9CI)
(CA INDEX NAME)



L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1979:593309 CAPLUS

DOCUMENT NUMBER: 91:193309

TITLE: Benzimidazole derivatives

INVENTOR(S): Berntsson, Peder Bernhard; Carlsson, Stig Ake Ingemar;
Garberg, Lars Erik; Junggren, Ulf Krister; Sjostrand,
Sven Erik; Von Wittken Sundell, Gunhild Wika

PATENT ASSIGNEE(S): Aktiebolag Hassle, Swed.

SOURCE: Fr. Demande, 21 pp. Division of Fr. Demande 2,331,340.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

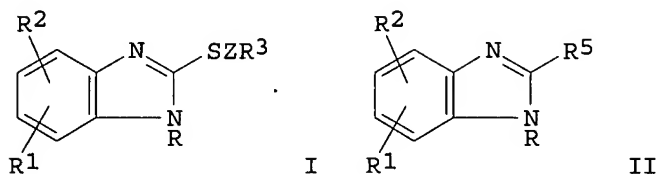
10/517,633

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2392021	A1	19781222	FR 1976-16732	19760603
FR 2392021	B1	19790817		
FI 7502327	A	19770216	FI 1975-2327	19750815
FI 63756	B	19830429		
FI 63756	C	19830810		
CS 196289	B2	19800331	CS 1975-7458	19751105
CH 623582	A5	19810615	CH 1979-9760	19791031
PRIORITY APPLN. INFO.:			CH 1975-14814	A 19751114
			FR 1976-16732	19760603

OTHER SOURCE(S): CASREACT 91:193309; MARPAT 91:193309
GI

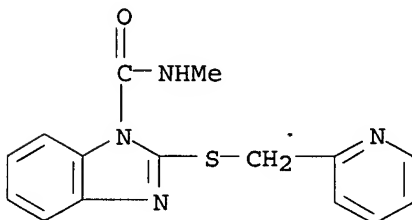


AB 2-[(Heteroarylalkyl)thio]benzimidazoles I [R = alkyl, CONH₂, alkyl- or dialkylcarbonyl, R₄COCH₂ (R₄ = alkyl), alkoxycarbonylmethyl, alkylsulfonyl; R₁ and R₂ (same or different) = H, alkyl, halo, cyano, CO₂H, carboxyalkyl, carbalkoxy, carbalkoxyalkyl, carbamoyl, carbamoylalkyl, OH, alkoxy, hydroxyalkyl, CF₃, acyl; Z = linear or branched alkylene; R₃ = (un)substituted quinolyl or pyridyl] were prepared from the resp. II (R₅ = SH, reactive acyloxy) and the resp. R₆ZR₃ (R₆ = reactive acyloxy, SH) and also by the reaction of o-phenylenediamines with the resp. R₃ZSCO₂H. I were converted to the resp. sulfoxides which inhibited gastric secretion. A mixture of 2-mercaptobenzimidazole, 2-(chloromethyl)pyridine hydrochloride, aqueous NaOH, and EtOH was refluxed 2 h to give I (R = R₁ = R₂ = H, Z = CH₂, R₃ = 2-pyridyl), which was oxidized by 3-ClC₆H₄C(O)OOH to yield the resp. sulfoxide.

IT 60525-10-2P 64948-75-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and S-oxidation of)

RN 60525-10-2 CAPLUS

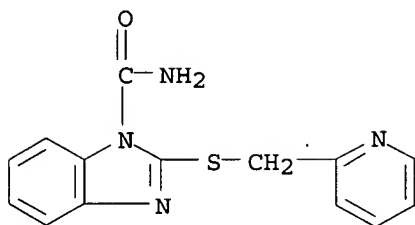
CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)thio]- (9CI) (CA INDEX NAME)



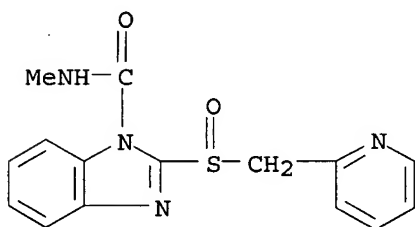
RN 64948-75-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, 2-[(2-pyridinylmethyl)thio]- (9CI) (CA INDEX NAME)

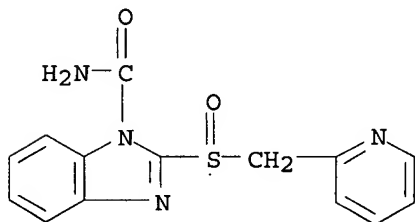
10/517,633



IT 60524-95-0P 60536-43-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 60524-95-0 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)sulfinyl]-
(9CI) (CA INDEX NAME)



RN 60536-43-8 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-[(2-pyridinylmethyl)sulfinyl]- (9CI)
(CA INDEX NAME)

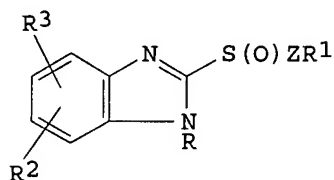


L4 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1979:557736 CAPLUS
DOCUMENT NUMBER: 91:157736
TITLE: 2-(2-Heterocyclic-methylsulfinyl)benzimidazole
compounds
INVENTOR(S): Berntsson, Peder B.; Carlsson, Stig A. I.; Garberg,
Lars E.; Junggren, Ulf K.; Sjostrand, Sven E.; Von
Wittken Sundeil, Gunhild W.
PATENT ASSIGNEE(S): Aktiebolag Hassle, Swed.
SOURCE: Can., 24 pp.
CODEN: CAXXA4
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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10/517,633

CA 1055033	A1	19790522	CA 1975-239643	19751114
SE 416649	B	19810126	SE 1974-6513	19740516
SE 416649	C	19810507		
CH 623814	A5	19810630	CH 1975-14814	19751114
PRIORITY APPLN. INFO.:			SE 1974-6513	A 19740516
			CA 1975-239643	A 19751114
OTHER SOURCE(S):	CASREACT	91:157736		
GI				

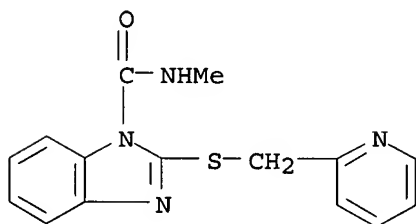


AB Benzimidazolyl sulfoxides I [R = H, alkyl, carbalkoxy, CONH₂, alkylcarbamoyl, alkylsulfonyl; Z = C1-4 linear or branched alkylene; R₁ = 2-pyridyl, alkyl-2-pyridyl, halo-2-pyridyl; R₂ and R₃ (same or different) are H, alkyl, halo, carbalkoxy, alkoxy, acyl], which inhibited gastric acid secretion, were prepared by six different methods. The reaction of 2-(2-pyridylmethylthio)benzimidazole (II) with 3-ClC₆H₄C(O)OOH in CHCl₃ gave I (R = R₂ = R₃ = H, Z = CH₂, R₁ = 2-pyridyl). (2-Pyridylmethylthio)formic acid was heated with o-phenylenediamine in 4N HCl to yield II.

IT 60525-10-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and S-oxidation of)

RN 60525-10-2 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)thio]-(9CI) (CA INDEX NAME)

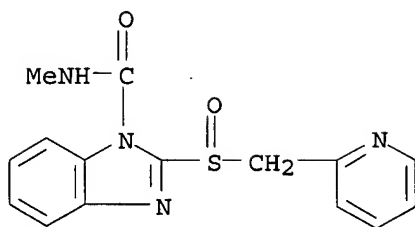


IT 60524-95-0P 60536-43-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

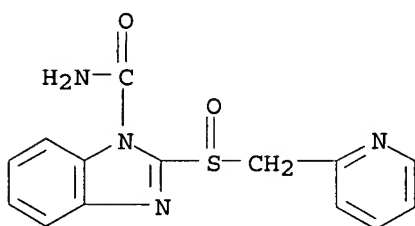
RN 60524-95-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)sulfinyl]-(9CI) (CA INDEX NAME)

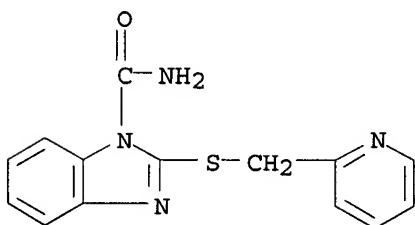
10/517,633



RN 60536-43-8 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-[(2-pyridinylmethyl)sulfinyl]- (9CI)
(CA INDEX NAME)



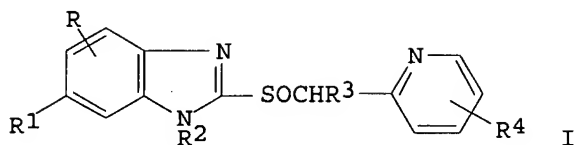
IT 64948-75-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(S-oxidation of)
RN 64948-75-0 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-[(2-pyridinylmethyl)thio]- (9CI) (CA
INDEX NAME)



L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1978:105333 CAPLUS
DOCUMENT NUMBER: 88:105333
TITLE: Benzimidazole derivatives and their effects on stomach
acid secretion
PATENT ASSIGNEE(S): Aktiebolag Hassle, Swed.
SOURCE: Neth. Appl., 28 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 7513141	A	19770512	NL 1975-13141	19751110
US 4045563	A	19770830	US 1975-630916	19751111
PRIORITY APPLN. INFO.:			US 1975-630916	A 19751111

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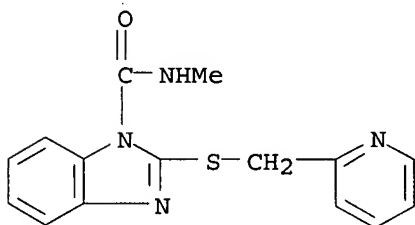
AB Pyridylalkylsulfinylbenzimidazoles I (R = H, 4-Me, 5-Et, 5-OMe, 5-OH, 5-Ac, 5-CO₂H, 5-CO₂Et, 5-Me, 5-Cl, 5-CHMe₂, 5-CMe₃, 5-Pr, 5-CN, 5-CF₃, 4-Cl; R₁ = H, Me, Cl; R₂ = H, Me, Ac, CO₂Me, CONH₂, CONHMe, CH₂Ac, CH₂CO₂Et, SO₂Me; R₃ = H, Me, Et, CHMe₂; R₄ = H, 5-Me, 3-Me, 5-Et) (27 compds.) were prepared by m-ClC₆H₄CO₂OH oxidation of pyridylalkylthiobenzimidazoles prepared e.g. by treating mercaptobenzimidazoles with 2-(1-chloroalkyl)pyridines. I are gastric acid secretion inhibitors. Thus, I (R-R₄ = H) at 1 mg/kg gave 90% inhibition of pentagastrin-induced stomach acid secretion in dogs.

IT 60525-10-2 64948-75-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of)

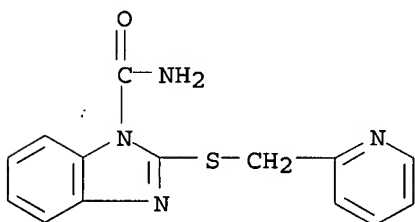
RN 60525-10-2 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)thio]-
(9CI) (CA INDEX NAME)



RN 64948-75-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, 2-[(2-pyridinylmethyl)thio]- (9CI) (CA INDEX NAME)



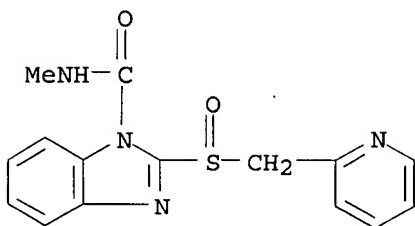
IT 60524-95-0P 60536-43-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

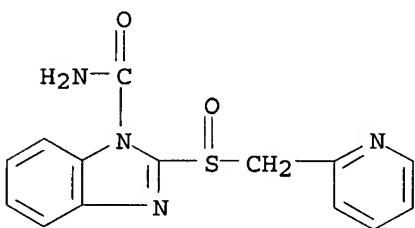
RN 60524-95-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)sulfinyl]-
(9CI) (CA INDEX NAME)

10/517,633



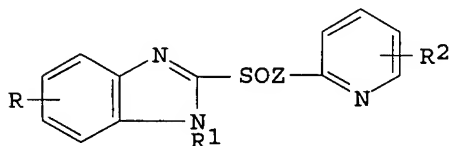
RN 60536-43-8 CAPLUS
CN 1H-Benzimidazole-1-carboxamide, 2-[(2-pyridinylmethyl)sulfinyl]- (9CI)
(CA INDEX NAME)



L4 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1978:22909 CAPLUS
DOCUMENT NUMBER: 88:22909
TITLE: Benzimidazole derivatives and their salts
INVENTOR(S): Berntsson, Peder Bernhard; Carlsson, Stig Ake Ingemar;
Garberg, Lars Erik; Junggren, Ulf Krister; Sjostrand,
Sven Erik; Von Wittken Sundell, Gunhild Wika
PATENT ASSIGNEE(S): Aktiebolag Hassle, Swed.
SOURCE: Austrian, 10 pp.
CODEN: AUXXAK
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 337697	B	19770711	AT 1975-8380	19751104
AT 7508380	A	19761115		
US 4045563	A	19770830	US 1975-630916	19751111
AT 351524	B	19790725	AT 1976-7522	19761011
AT 7607522	A	19790115		
PRIORITY APPLN. INFO.:			US 1975-630916	A 19751111
			SE 1974-6513	A 19740516
			AT 1975-8380	A 19751104

GI



I

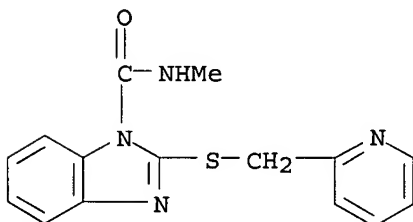
10/517,633

AB Pyridylalkylthiobenzimidazoles were oxidized with m-ClC₆H₄CO₃H to give .apprx.30 I (R = H, 4-, 5-Me, 5-OMe, 5-Ac, 5-CO₂Et, 5-CMe₃, 4-, 6-Cl, 5-CF₃, 5-CN, etc.; R₁ = H, Me, Ac, CO₂Me, CONHMe, SO₂Me, etc.; Z = CH₂, CHMe, CHEt, CHCHMe₂; R₂ = H, 3-, 4-, 5-Me, 5-Et, 4-Cl). I in doses of 1-10 mg/kg showed 8-96% inhibition of secretion of stomach acid.

IT 60525-10-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

RN 60525-10-2 CAPLUS

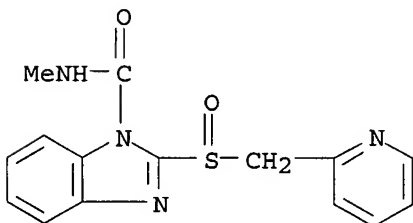
CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)thio]- (9CI) (CA INDEX NAME)



IT 60524-95-0P 60536-43-8P 64948-75-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

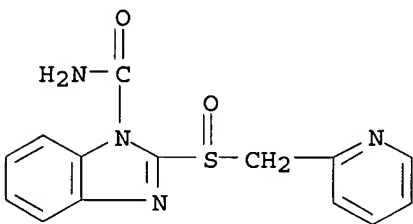
RN 60524-95-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)sulfinyl]- (9CI) (CA INDEX NAME)



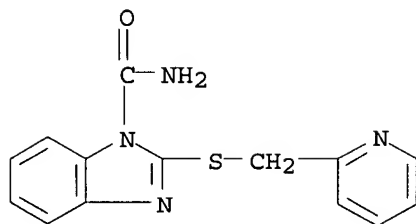
RN 60536-43-8 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, 2-[(2-pyridinylmethyl)sulfinyl]- (9CI) (CA INDEX NAME)



RN 64948-75-0 CAPLUS

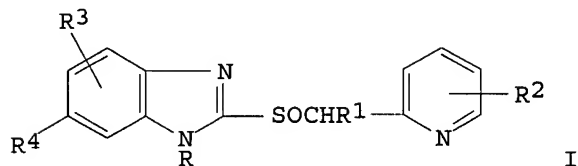
CN 1H-Benzimidazole-1-carboxamide, 2-[(2-pyridinylmethyl)thio]- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1977:601543 CAPLUS
 DOCUMENT NUMBER: 87:201543
 TITLE: Substituted 2-[pyridylalkylenesulfinyl]-benzimidazoles with gastric acid secretion inhibiting effects
 INVENTOR(S): Berntsson, Peder Bernhard; Carlsson, Stig Ake Ingemar; Garberg, Lars Erik; Junggren, Ulf Krister; Sjostrand, Sven Erik; Von Wittken Sundell, Gunhild Wika
 PATENT ASSIGNEE(S): Aktiebolag Hassle, Swed.
 SOURCE: U.S., 13 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 8
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4045563	A	19770830	US 1975-630916	19751111
SE 416649	B	19810126	SE 1974-6513	19740516
SE 416649	C	19810507		
DK 140840	B	19791126	DK 1975-3721	19750818
DK 140840	C	19800505		
AU 498140	B2	19790215	AU 1975-86258	19751103
AT 337697	B	19770711	AT 1975-8380	19751104
AT 7508380	A	19761115		
NL 7513141	A	19770512	NL 1975-13141	19751110
PRIORITY APPLN. INFO.:			SE 1974-6513	A 19740516
			US 1975-630916	A 19751111

GI



I

AB Benzimidazoles I (R = H, Me, Ac, CO₂Me, CONH₂, CONHMe, CH₂Ac, CH₂CO₂Et, SO₂Me; R₁ = H, Me, Et, CHMe₂; R₂ = H, 4-Cl, 5-Me, 4-Me, 3-Me, 5-Et; R₃ = H, 4-Me, 5-Et, 5-OMe, 5-OH, 5-Ac, 5-CO₂H, 5-CO₂Et, 5-Me, 5-CMe₃, 5-Br, 5-CHMe₂, 5-Cl, 5-CF₃, 5-CMe₃, 5-Pr, 5-CN; R₄ = H, Me, Cl) (38 compds.) were prepared e.g. by oxidizing pyridylmethylthiobenzimidazoles. I are gastric acid secretion inhibitors. Thus, I (R-R₂ = H, R₃ = 4-Me, R₄ = ME) at 5 mg/kg orally in dogs caused 94% inhibition on pentagastrin-induced gastric acid secretion.

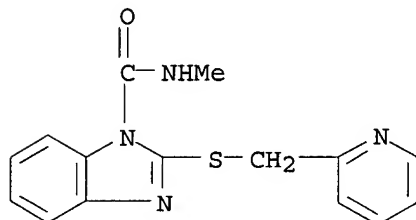
IT 60525-10-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

10/517,633

(preparation and oxidation of)

RN 60525-10-2 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)thio]-
(9CI) (CA INDEX NAME)

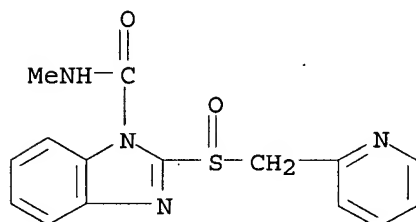


IT 60524-95-0P 60536-43-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

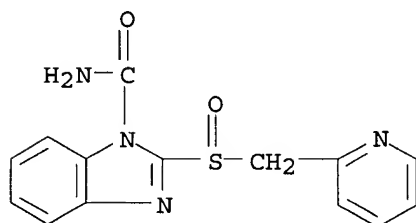
RN 60524-95-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)sulfinyl]-
(9CI) (CA INDEX NAME)



RN 60536-43-8 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, 2-[(2-pyridinylmethyl)sulfinyl]- (9CI)
(CA INDEX NAME)



L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1976:549139 CAPLUS

DOCUMENT NUMBER: 85:149139

TITLE: Agents affecting the secretion of gastric acid
INVENTOR(S): Berntsson, Peder B.; Carlsson, Stig A. I.; Garberg,
Lars E.; Junggren, Ulf K.; Sjostrand, Sven E.; Von
Wittken Sundell, Gunhild W.

PATENT ASSIGNEE(S): Aktiebolag Hassle, Swed.

SOURCE: Belg., 50 pp.

CODEN: BEXXAL

DOCUMENT TYPE: Patent

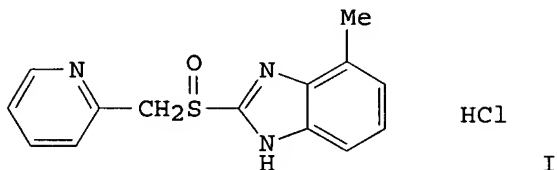
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

10/517,633

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 834973	A1	19760216	BE 1975-161338	19751029
PRIORITY APPLN. INFO.: GI			BE 1975-161338	A 19751029

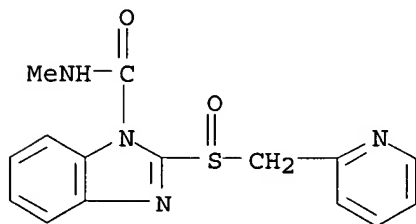


AB Gastric secretion-inhibiting compns. comprise substituted 2-sulfinylbenzimidazole. For example, a gastric-secretion-inhibiting sirup was prepared cntg. 2-(2-pyridylmethylsulfinyl)-4-methylbenzimidazole-HCl (I) [60525-03-3] 2.0, saccharin 0.6, sucrose 30.0, glycering 5.0, flavoring agents 0.1 g, EtOH (96%) 10.0 ml, and H₂O to 100 ml. The preparation of the title compds. from substituted benzimidoles is described.

IT 60524-95-0P 60536-43-8P
RL: PREP (Preparation)
(preparation of, as gastric secretion inhibitor)

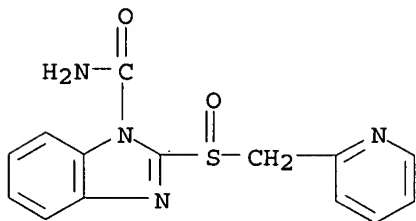
RN 60524-95-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)sulfinyl]- (9CI) (CA INDEX NAME)



RN 60536-43-8 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, 2-[(2-pyridinylmethyl)sulfinyl]- (9CI) (CA INDEX NAME)

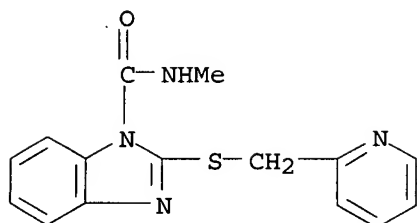


IT 60525-10-2
RL: BIOL (Biological study)
(reaction with chlorobenzoic acid)

RN 60525-10-2 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)thio]- (9CI) (CA INDEX NAME)

10/517,633



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FILE 'USPATFULL' ENTERED AT 13:59:14 ON 23 MAY 2007

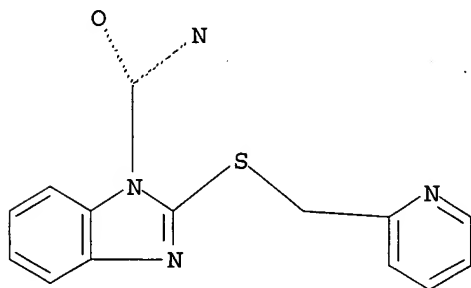
CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 13:59:14 ON 23 MAY 2007

CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L3 72 SEA FILE=REGISTRY SSS FUL L1

L5 10 SEA L3

=> d l5 1-10 ibib abs hitstr

L5 ANSWER 1 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2006:341588 USPATFULL

TITLE: Prodrug and process for producing the same

INVENTOR(S): Kamiyama, Keiji, Osaka, JAPAN

PATENT ASSIGNEE(S): Takeda Pharmaceuticals North America, Inc.,
Lincolnshire, IL, UNITED STATES, 60069 (U.S.
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2006293371	A1	20061228
APPLICATION INFO.:	US 2003-517847	A1	20030613 (10)
	WO 2003-JP7545		20030613
			20050624 PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 2002-175086	20020614
	JP 2003-41085	20030219
DOCUMENT TYPE:	Utility	

FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: TAKEDA PHARMACEUTICALS NORTH AMERICA, INC, INTELLECTUAL
PROPERTY DEPARTMENT, ONE TAKEDA PARKWAY, DEERFIELD, IL,
60015, US

NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
LINE COUNT: 2309

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a compound having, as a modification group to be eliminated from a prodrug, a group represented by the formula: ##STR1## wherein each symbol is as defined in the specification. According to the present invention, the development of a prodrug based on the modification of a nitrogen-containing heterocycle and the like has become possible.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 635751-21-2P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl acetate 635751-22-3P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl trimethylacetate 635751-23-4P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl cyclohexanecarboxylate 635751-24-5P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl benzoate 635751-25-6P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 4-methoxybenzoate 635751-26-7P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 3-chlorobenzoate 635751-27-8P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 3,4-difluorobenzoate 635751-28-9P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 4-trifluoromethoxybenzoate 635751-29-0P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 4-fluorobenzoate 635751-30-3P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 3,4,5-trimethoxybenzoate 635751-31-4P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 2-pyridinecarboxylate 635751-32-5P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl methoxyacetate 635751-33-6P, Ethyl 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-34-7P, Isopropyl 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-35-8P, Benzyl 2-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-36-9P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl tetrahydropyran-4-yl carbonate 635751-37-0P, 2-Methoxyethyl 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-38-1P, 2-[N-Ethyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl acetate 635751-39-2P,

2-[N-Isopropyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl acetate 635751-40-5P, Ethyl 2-[N-isopropyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-41-6P, 2-[N-Cyclohexyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl acetate 635751-42-7P, 2-[N-Cyclohexyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ethyl carbonate 635751-43-8P, 2-[[[R]-2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl] (phenyl) amino]ethyl acetate 635751-45-0P, tert-Butyl 2-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]-3-pyridyl]methyl carbonate 635751-46-1P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]benzyl acetate 635751-47-2P 635751-49-4P 635751-50-7P, 2-[[[5-Methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl] (methyl) amino]ethyl benzoate 635751-52-9P, 3-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]propyl benzoate 635751-53-0P, Ethyl 2-[N-Methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-54-1P, Ethyl 2-[N-methyl[[[S]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-59-6P, 4-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]butyl acetate 635751-60-9P, Ethyl 4-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]butyl carbonate 635751-61-0P, Ethyl 3-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]propyl carbonate 635751-62-1P, 3-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]propyl acetate 635751-63-2P 635751-64-3P 635751-66-5P, 2-[N-Methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl acetate 635751-67-6P 635751-68-7P, 3-Methoxypropyl 2-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-69-8P 635751-70-1P 635751-71-2P, Ethyl 2-[2-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethoxy]ethyl carbonate 635751-72-3P, Ethyl 2-[N-methyl[[2-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethoxy]carbonyl]amino]ethyl carbonate 635751-73-4P, Ethyl 2-[[[5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl] (methyl) amino]ethyl carbonate 635751-75-6P, 2-[[[5-Methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl] (phenyl) amino]ethyl acetate 635751-77-8P, Ethyl 2-[[[S]-5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl] (methyl) amino]ethyl carbonate 635751-79-0P, Ethyl 2-[[[2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl] (methyl) amino]ethyl carbonate 635751-80-3P, 2-[[[2-[[[4-(3-Methoxypropoxy)-3-methyl-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl] (phenyl) amino]ethyl acetate 635751-81-4P,

2-[[[5-(Difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl ethyl carbonate
 635751-83-6P, 2-[N-Methyl[[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 1-methylpiperidine-4-carboxylate
 635751-84-7P 635751-85-8P, 2-[N-Methyl[[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 1-methylpiperidin-4-yl carbonate
 635751-86-9P 635752-05-5P,
 2-[N-Methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl benzoate 635752-06-6P, Isopropyl 2-[N-Methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635752-07-7P,
 2-[N-Methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl tetrahydropyran-4-yl carbonate 635752-08-8P,
 2-[[[2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl](phenyl)amino]ethyl acetate
 (antiulcer agent; preparation of prodrugs containing benzimidazoles and

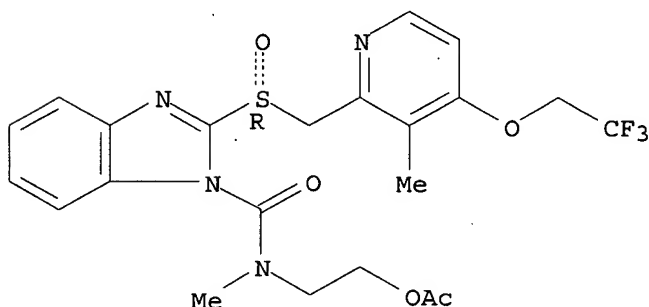
analogues

as proton pump inhibitors for treatment of peptic ulcers)

RN 635751-21-2 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (9CI)
 (CA INDEX NAME)

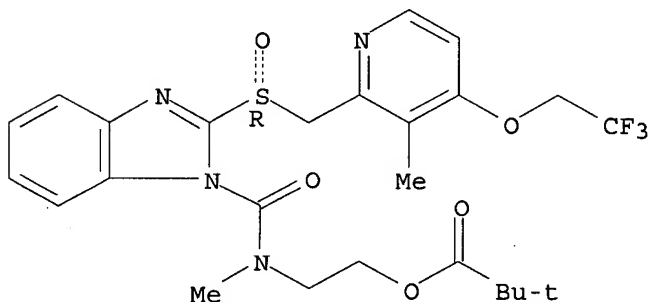
Absolute stereochemistry.



RN 635751-22-3 USPATFULL

CN Propanoic acid, 2,2-dimethyl-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

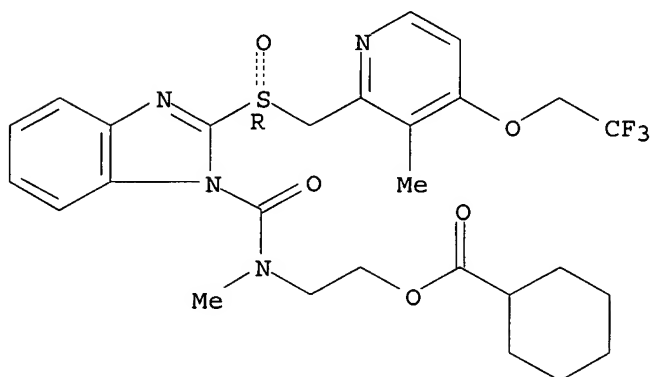


RN 635751-23-4 USPATFULL

10/517,633

CN Cyclohexanecarboxylic acid, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

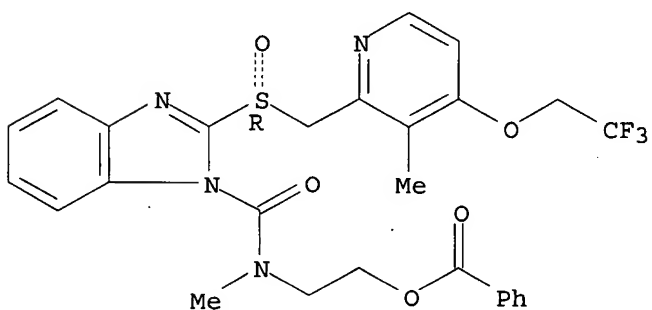
Absolute stereochemistry.



RN 635751-24-5 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, N-[2-(benzoyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

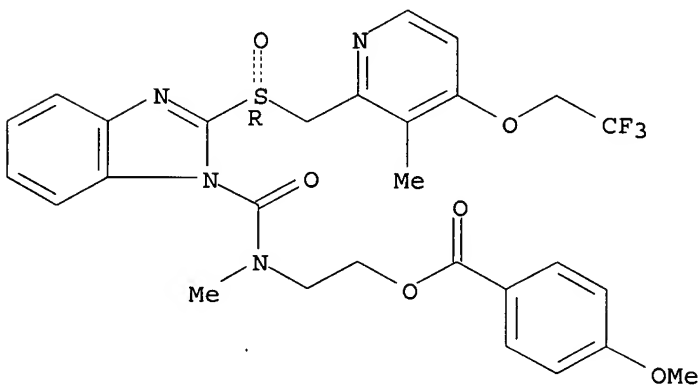
Absolute stereochemistry.



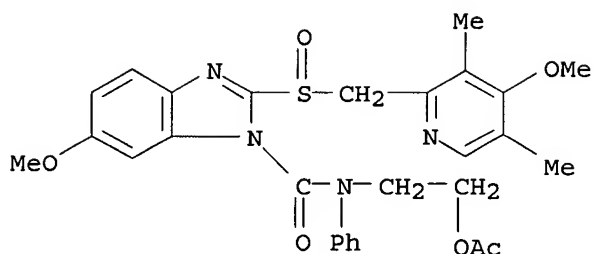
RN 635751-25-6 USPATFULL

CN Benzoic acid, 4-methoxy-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



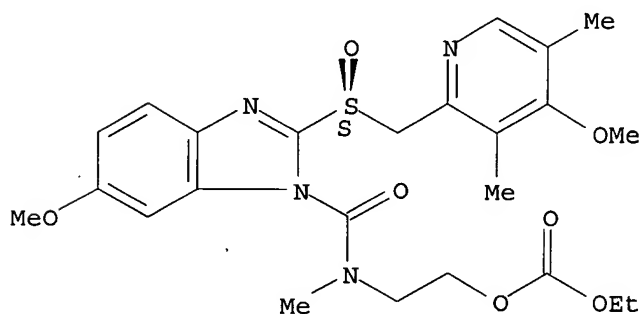
10/517,633



RN 635751-78-9 USPATFULL

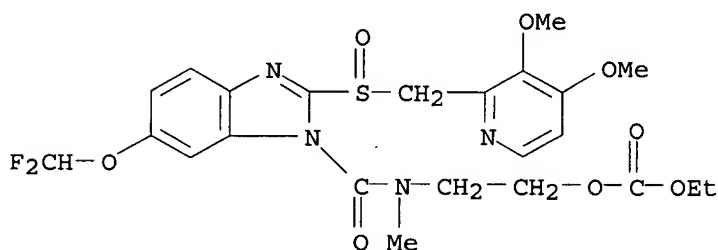
CN Carbonic acid, ethyl 2-[[[6-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]methylamino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 635751-82-5 USPATFULL

CN Carbonic acid, 2-[[[6-(difluoromethoxy)-2-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]methylamino]ethyl ethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2006:208515 USPATFULL

TITLE: Controlled release composition

INVENTOR(S): Nagahara, Naoki, Osaka-shi , Osaka, JAPAN
Miyamoto, Keiko, Osaka-shi, Osaka, JAPAN
Akiyama, Yohko, Osaka-shi, Osaka, JAPAN

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2006177509	A1	20060810
APPLICATION INFO.:	US 2004-549150	A1	20040316 (10)
	WO 2004-JP3483		20040316
			20050915 PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 2003-72858	20030317
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	WENDEROTH, LIND & PONACK, L.L.P., 2033 K STREET N. W., SUITE 800, WASHINGTON, DC, 20006-1021, US	
NUMBER OF CLAIMS:	18	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	2 Drawing Page(s)	
LINE COUNT:	7210	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a controlled release composition showing release of an active ingredient (proton pump inhibitor) controlled in two or more steps at different release rates, which contains 1) a release-controlled part A capable of controlling release of the active ingredient to occur at a predetermined rate, 2) a release-controlled part B capable of controlling release of the active ingredient to occur at a predetermined rate lower than the release rate of the release-controlled part A, and where necessary, 3) a release-controlled part C capable of controlling release of the active ingredient to occur at a predetermined rate faster than the release rate of the release-controlled part B, wherein the release of the active ingredient from the release-controlled part B precedes the release of the active ingredient from the release-controlled part A (when release-controlled part C is contained, the release of the active ingredient from the release-controlled part C precedes the release of the active ingredient from the release-controlled part B).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 635751-21-2P 635751-22-3P 635751-23-4P
 635751-24-5P 635751-25-6P 635751-26-7P
 635751-27-8P 635751-28-9P 635751-29-0P
 635751-30-3P 635751-31-4P 635751-32-5P
 635751-33-6P 635751-34-7P 635751-35-8P
 635751-36-9P 635751-37-0P 635751-38-1P
 635751-39-2P 635751-40-5P 635751-41-6P
 635751-42-7P 635751-43-8P 635751-46-1P
 635751-47-2P 635751-49-4P 635751-50-7P
 635751-52-9P 635751-53-0P 635751-54-1P
 635751-59-6P 635751-60-9P 635751-61-0P
 635751-62-1P 635751-63-2P 635751-64-3P
 635751-66-5P 635751-67-6P 635751-68-7P
 635751-69-8P 635751-70-1P 635751-71-2P
 635751-72-3P 635751-73-4P, Ethyl 2-[[[5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl)methyl]sulfinyl-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl carbonate 635751-75-6P,
 2-[[[5-Methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl)methyl]sulfinyl-1H-benzimidazol-1-yl]carbonyl](phenyl)amino]ethyl acetate
 635751-77-8P 635751-79-0P, Ethyl 2-[[[2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridyl)methyl]sulfinyl-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl carbonate 635751-80-3P,
 2-[[[2-[[[4-(3-Methoxypropoxy)-3-methyl-2-pyridyl)methyl]sulfinyl-1H-benzimidazol-1-yl]carbonyl](phenyl)amino]ethyl acetate
 635751-81-4P, 2-[[[5-(Difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl carbonate 635751-83-6P 635751-84-7P
 635751-85-8P 635751-86-9P 635752-05-5P
 635752-06-6P 635752-07-7P 635752-08-8P,
 2-[[[2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl](phenyl)amino]ethyl acetate
 765942-20-9P

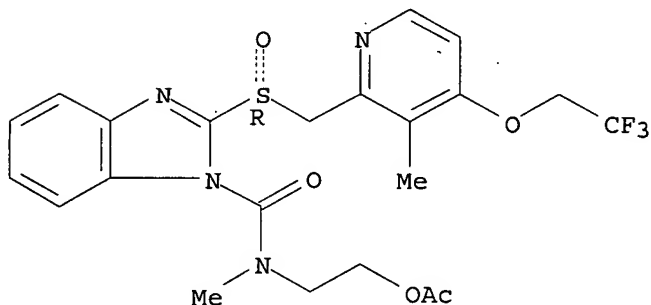
10/517,633

(preparation of proton pump inhibitors for controlled-release compns.)

RN 635751-21-2 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI)
(CA INDEX NAME)

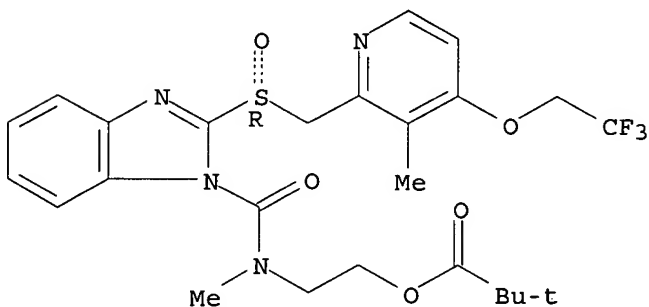
Absolute stereochemistry.



RN 635751-22-3 USPATFULL

CN Propanoic acid, 2,2-dimethyl-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

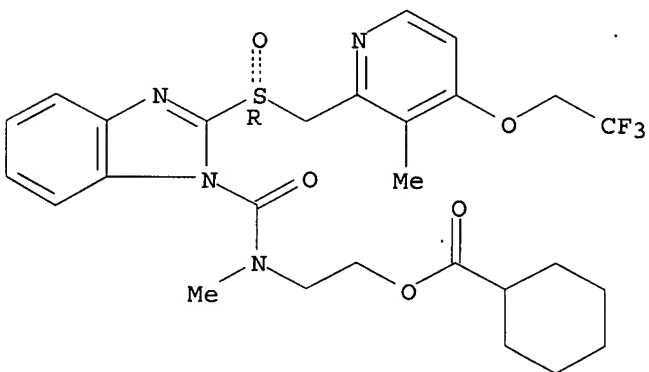
Absolute stereochemistry.



RN 635751-23-4 USPATFULL

CN Cyclohexanecarboxylic acid, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

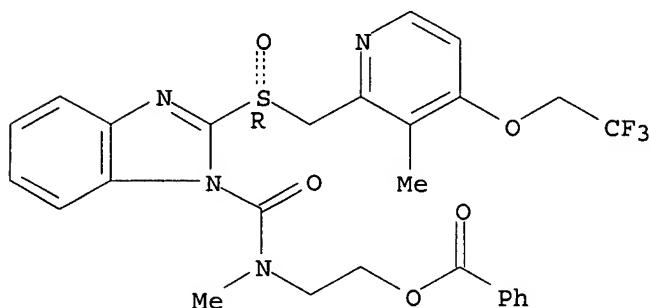


10/517,633

RN 635751-24-5 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, N-[2-(benzoyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI)
(CA INDEX NAME)

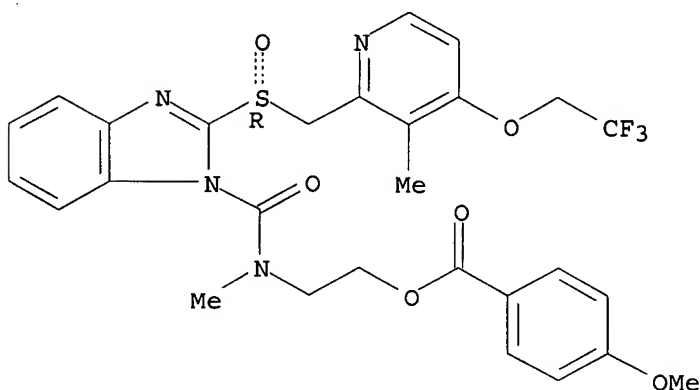
Absolute stereochemistry.



RN 635751-25-6 USPATFULL

CN Benzoic acid, 4-methoxy-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

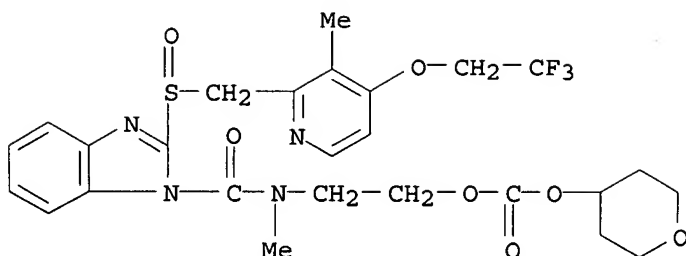


RN 635751-26-7 USPATFULL

CN Benzoic acid, 3-chloro-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

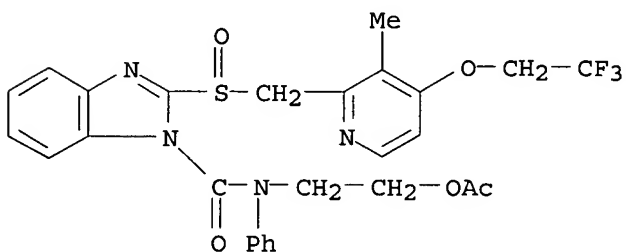
Absolute stereochemistry.

10/517,633



RN 635752-08-8 USPATFULL

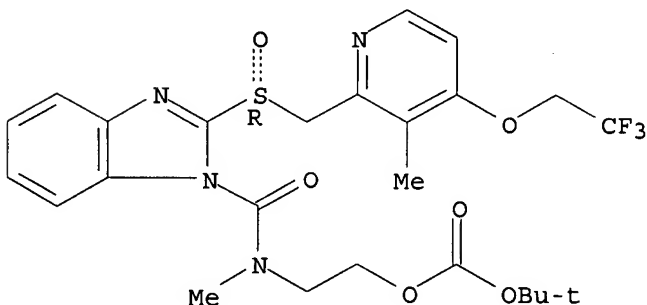
CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-N-phenyl- (9CI)
(CA INDEX NAME)



RN 765942-20-9 USPATFULL

CN Carbonic acid, 1,1-dimethylethyl 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 3 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2006:188325 USPATFULL

TITLE: Drug composition having active ingredient adhered at high concentration to spherical core

INVENTOR(S): Yoneyama, Shuji, Osaka-shi, JAPAN

Bando, Hiroto, Osaka-shi, JAPAN

PATENT ASSIGNEE(S): Aoyama & Partners (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2006159760	A1	20060720
APPLICATION INFO.:	US 2004-548504	A1	20040310 (10)
	WO 2004-JP3075		20040310

	NUMBER	DATE
PRIORITY INFORMATION:	JP 2003-66344	20030312
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	WENDEROTH, LIND & PONACK, L.L.P., 2033 K STREET N. W., SUITE 800, WASHINGTON, DC, 20006-1021, US	
NUMBER OF CLAIMS:	22	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	3 Drawing Page(s)	
LINE COUNT:	6689	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Granule, fine particle or tablet of excellent leaching property, comprising a drug active ingredient in high content realized by forming a layer containing drug active ingredient on core particles through a combination of a method of dispersing and adhering an active ingredient while spraying or adding a binder with a method of spraying or adding a solution or suspension wherein an active ingredient and a binder are contained so as to effect adhesion. Further, there are provided a drug composition containing such a granule, fine particle or tablet and a process for producing the same.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 635751-21-2P 635751-22-3P 635751-23-4P
635751-24-5P 635751-25-6P 635751-26-7P
635751-27-8P 635751-28-9P 635751-29-0P
635751-30-3P 635751-31-4P 635751-32-5P
635751-33-6P 635751-34-7P 635751-35-8P
635751-36-9P 635751-37-0P 635751-38-1P
635751-39-2P 635751-40-5P 635751-41-6P
635751-42-7P 635751-43-8P 635751-45-0P
635751-46-1P 635751-47-2P 635751-49-4P
635751-50-7P 635751-52-9P 635751-53-0P
635751-54-1P 635751-59-6P 635751-60-9P
635751-61-0P 635751-62-1P 635751-63-2P
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635751-68-7P 635751-69-8P 635751-70-1P
635751-71-2P 635751-72-3P 635751-73-4P
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635751-83-6P 635751-84-7P 635751-85-8P
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635752-07-7P 635752-08-8P

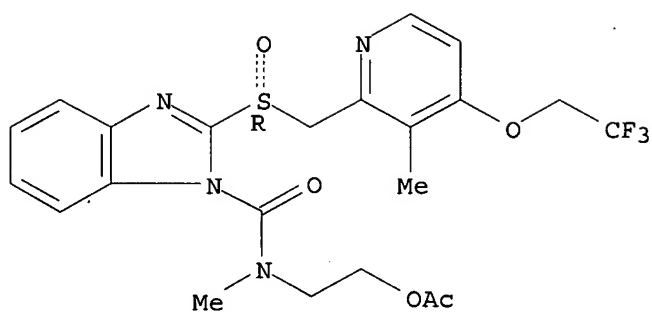
(preparation of drug composition containing proton pump inhibitors adhered at high concentration to spherical core)

RN 635751-21-2 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

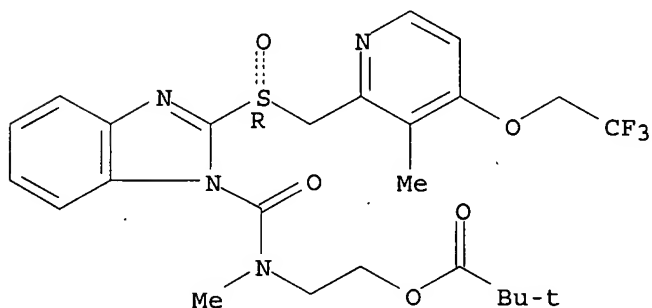
10/517,633



RN 635751-22-3 USPATFULL

CN Propanoic acid, 2,2-dimethyl-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

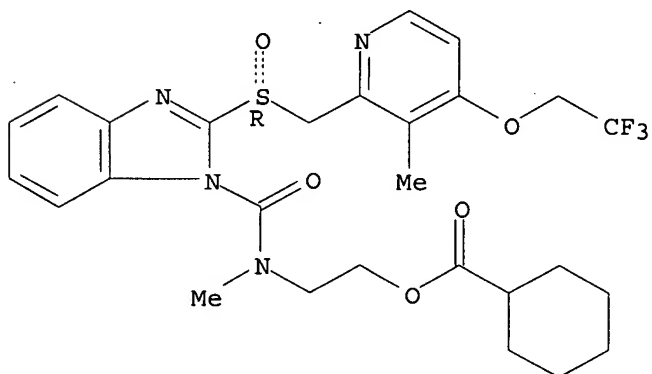
Absolute stereochemistry.



RN 635751-23-4 USPATFULL

CN Cyclohexanecarboxylic acid, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

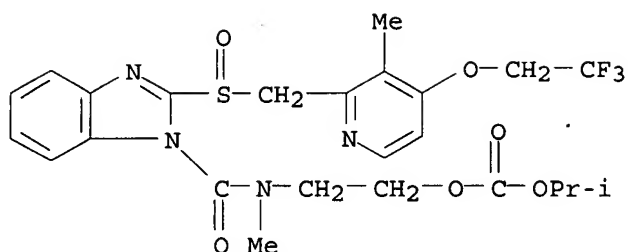


RN 635751-24-5 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, N-[2-(benzoyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

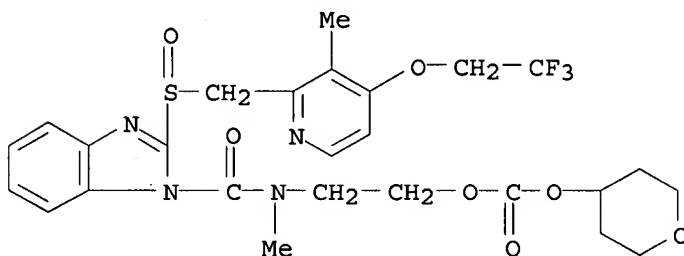
Absolute stereochemistry.

10/517,633



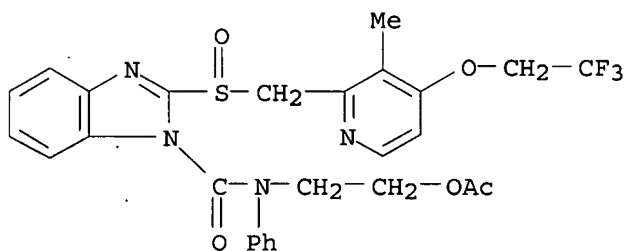
RN 635752-07-7 USPATFULL

CN Carbonic acid, 2-[methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl tetrahydro-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)



RN 635752-08-8 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-N-phenyl- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2006:15490 USPATFULL

TITLE: Controlled release preparation

INVENTOR(S): Akiyama, Yohko, Osaka-shi Osaka, JAPAN
Kurasawa, Takashi, Osaka-shi Osaka, JAPAN
Bando, Hiroto, Osaka-shi Osaka, JAPAN
Nagahara, Naoki, Osaka-shi Osaka, JAPAN

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2006013868	A1	20060119
APPLICATION INFO.:	US 2003-531069	A1	20031015 (10)
	WO 2003-JP13155		20031015
			20050411 PCT 371 date

NUMBER	DATE
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PRIORITY INFORMATION: JP 2002-301876 20021016
 JP 2003-66336 20030312
 DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: Mark Chao, Takeda Pharmaceuticals North America Inc,
 Intellectual Property Department, 475 Half Day Road
 Suite 500, Lincolnshire, IL, 60069, US
 NUMBER OF CLAIMS: 49
 EXEMPLARY CLAIM: 1
 LINE COUNT: 7380
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A controlled release preparation wherein the release of active ingredient is controlled, which releases an active ingredient for an extended period of time by staying or slowly migrating in the gastrointestinal tract, is provided by means such as capsulating a tablet, granule or fine granule wherein the release of active ingredient is controlled and a gel-forming polymer. Said tablet, granule or fine granule has a release-controlled coating-layer formed on a core particle containing an active ingredient.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

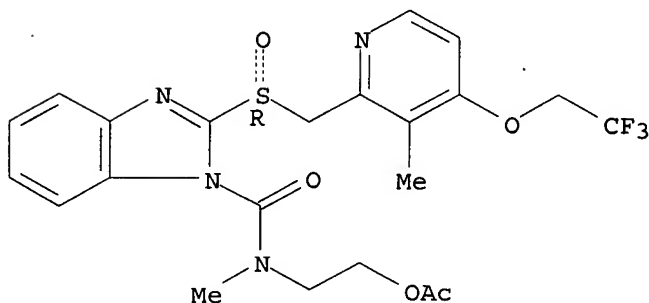
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 635751-80-3P 635751-81-4P 635751-83-6P
 635751-84-7P 635751-85-8P 635751-86-9P
 635752-05-5P 635752-06-6P 635752-07-7P
 635752-08-8P

(controlled release preparation containing proton pump inhibitors)

RN 635751-21-2 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

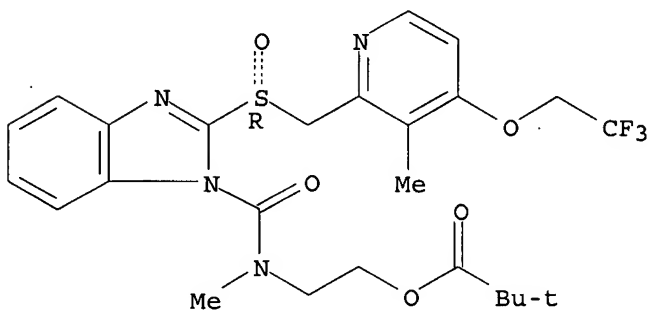


10/517,633

RN 635751-22-3 USPATFULL

CN Propanoic acid, 2,2-dimethyl-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

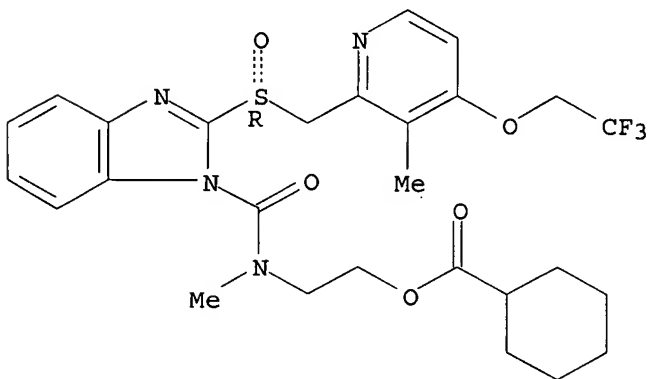
Absolute stereochemistry.



RN 635751-23-4 USPATFULL

CN Cyclohexanecarboxylic acid, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

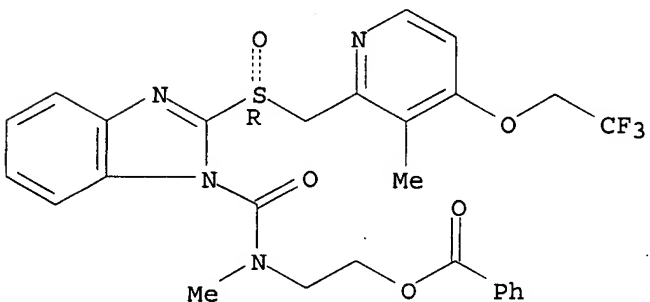
Absolute stereochemistry.



RN 635751-24-5 USPATFULL

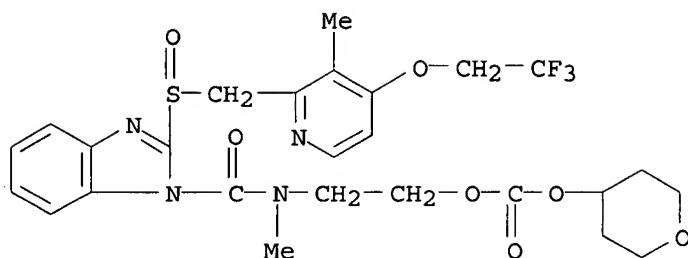
CN 1H-Benzimidazole-1-carboxamide, N-[2-(benzoyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



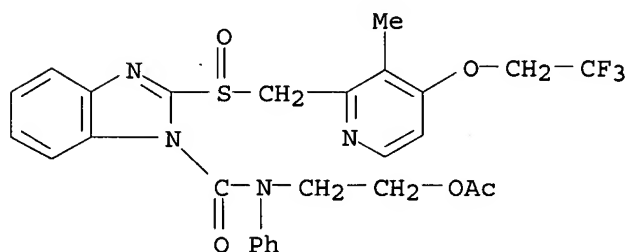
RN 635751-25-6 USPATFULL

10/517,633



RN 635752-08-8 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-N-phenyl- (9CI)
(CA INDEX NAME)



L5 ANSWER 5 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2005:255712 USPATFULL

TITLE: Prodrugs of imidazole derivatives, for use as proton pump inhibitors in the treatment of e.g. peptic ulcers

INVENTOR(S): Kamiyama, Keiji, Ibaraki-shi, JAPAN
Banno, Hiroshi, Kawanishi-shi, JAPAN
Sato, Fumihiko, Suita-shi, JAPAN

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005222210	A1	20051006
APPLICATION INFO.:	US 2003-517633	A1	20030613 (10)
	WO 2003-JP7546		20030613
			20041213 PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 2002-175086	20020614
	JP 2003-200241085	20030219
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	WENDEROTH, LIND & PONACK, L.L.P., 2033 K STREET N. W., SUITE 800, WASHINGTON, DC, 20006-1021, US	
NUMBER OF CLAIMS:	24	
EXEMPLARY CLAIM:	1	
LINE COUNT:	5425	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An imidazole compound represented by the formula (I), a salt thereof and a compound of the formula (V), which is one of the intermediates thereof. wherein each symbol is as defined in the present specification. The compound of the present invention shows a superior anti-ulcer activity, a gastric acid secretion inhibitory action, a mucosa-protecting action, an anti-Helicobacter pylori action and the

like. Since it shows low toxicity, the compound is useful as a pharmaceutical product. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 635751-21-2P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl acetate 635751-22-3P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl trimethylacetate 635751-23-4P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl cyclohexanecarboxylate 635751-24-5P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl benzoate 635751-25-6P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 4-methoxybenzoate 635751-26-7P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 3-chlorobenzoate 635751-27-8P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 3,4-difluorobenzoate 635751-28-9P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 4-trifluoromethoxybenzoate 635751-29-0P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 4-fluorobenzoate 635751-30-3P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 3,4,5-trimethoxybenzoate 635751-31-4P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 2-pyridinecarboxylate 635751-32-5P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl methoxyacetate 635751-33-6P, Ethyl 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-34-7P, Isopropyl 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-35-8P, Benzyl 2-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-36-9P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl tetrahydropyran-4-yl carbonate 635751-37-0P, 2-Methoxyethyl 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-38-1P, 2-[N-Ethyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl acetate 635751-39-2P, 2-[N-Isopropyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl acetate 635751-40-5P, Ethyl 2-[N-isopropyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-41-6P, 2-[N-Cyclohexyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl acetate 635751-42-7P, 2-[N-Cyclohexyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ethyl carbonate 635751-43-8P, 2-[[[[R]-2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl] (phenyl) amino]ethyl

1 acetate 635751-45-0P, tert-Butyl [2-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]-3-pyridyl]methyl carbonate
 635751-46-1P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]benzyl acetate 635751-47-2P
 635751-49-4P 635751-50-7P, 2-[[[5-Methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl benzoate 635751-52-9P,
 3-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]propyl benzoate 635751-53-0P, Ethyl 2-[N-Methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-54-1P, Ethyl
 2-[N-methyl[[[S]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-59-6P, 4-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]butyl acetate 635751-60-9P, Ethyl
 4-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]butyl carbonate 635751-61-0P, Ethyl 3-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]propyl carbonate 635751-62-1P,
 3-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]propyl acetate 635751-63-2P 635751-64-3P
 635751-66-5P, 2-[N-Methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl acetate 635751-67-6P
 635751-68-7P, 3-Methoxypropyl 2-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635751-69-8P
 635751-70-1P 635751-71-2P, Ethyl 2-[2-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethoxy]ethyl carbonate
 635751-72-3P, Ethyl 2-[N-methyl[[2-[N-methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethoxy]carbonyl]amino]ethyl carbonate
 635751-73-4P, Ethyl 2-[[[5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl carbonate 635751-75-6P, 2-[[[5-Methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl](phenyl)amino]ethyl acetate 635751-77-8P, Ethyl
 2-[[[S]-5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl carbonate
 635751-79-0P, Ethyl 2-[[[2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl carbonate 635751-80-3P, 2-[[[2-[[[4-(3-Methoxypropoxy)-3-methyl-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl](phenyl)amino]ethyl acetate 635751-81-4P,
 2-[[[5-(Difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl](methyl)amino]ethyl ethyl carbonate
 635751-83-6P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 1-methylpiperidine-4-carboxylate
 635751-84-7P 635751-85-8P, 2-[N-Methyl[[[R]-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl 1-methylpiperidin-4-yl carbonate
 635751-86-9P 635752-05-5P, 2-[N-Methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl benzoate 635752-06-6P, Isopropyl
 2-[N-Methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-

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pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl carbonate 635752-07-7P, 2-[N-Methyl[[2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl tetrahydropyran-4-yl carbonate 635752-08-8P, 2-[[[2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl](phenyl)amino]ethyl acetate

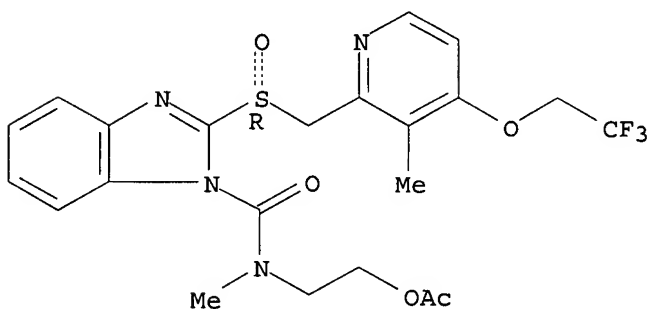
(antiulcer agent; preparation of prodrugs containing benzimidazoles and analogs

as proton pump inhibitors for treatment of peptic ulcers)

RN 635751-21-2 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, N-[2-(acetyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl)sulfinyl]- (9CI)
(CA INDEX NAME)

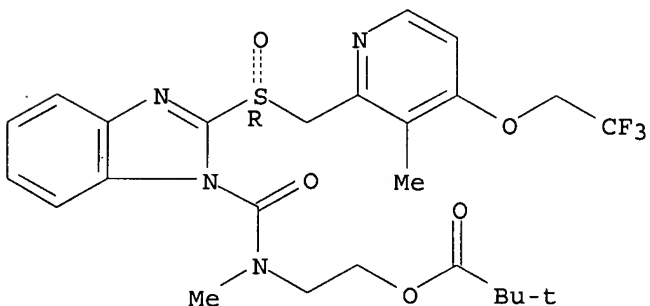
Absolute stereochemistry.



RN 635751-22-3 USPATFULL

CN Propanoic acid, 2,2-dimethyl-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

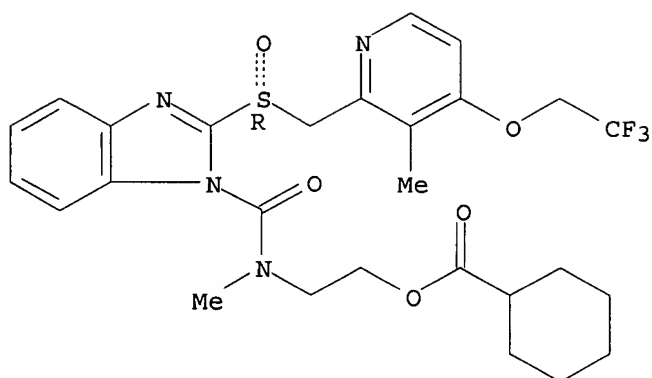


RN 635751-23-4 USPATFULL

CN Cyclohexanecarboxylic acid, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl)sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

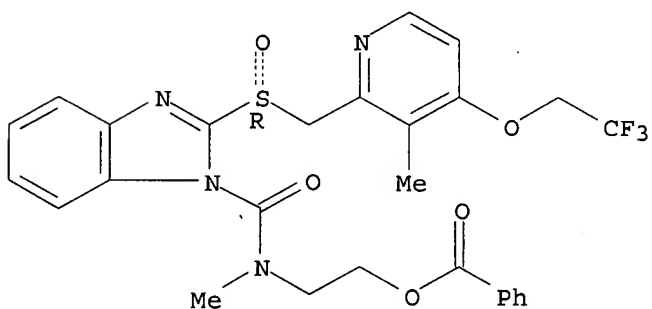
10/517,633



RN 635751-24-5 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, N-[2-(benzoyloxy)ethyl]-N-methyl-2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

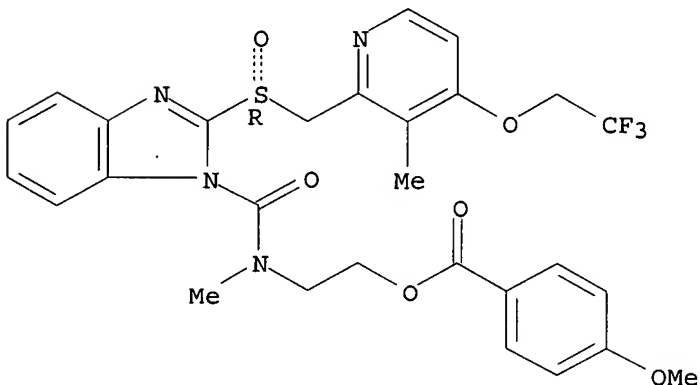
Absolute stereochemistry.



RN 635751-25-6 USPATFULL

CN Benzoic acid, 4-methoxy-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

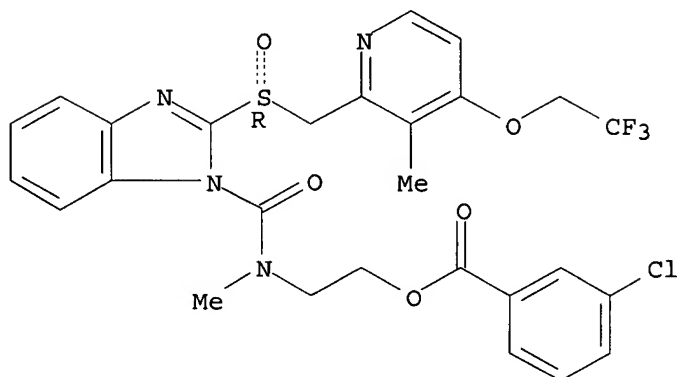


RN 635751-26-7 USPATFULL

CN Benzoic acid, 3-chloro-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

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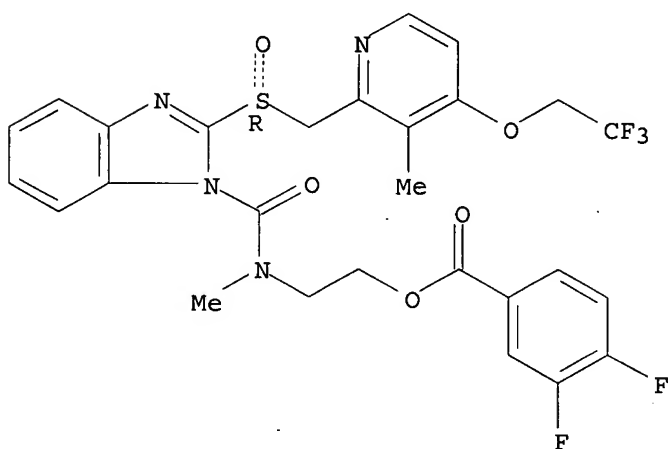
Absolute stereochemistry.



RN 635751-27-8 USPATFULL

CN Benzoic acid, 3,4-difluoro-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

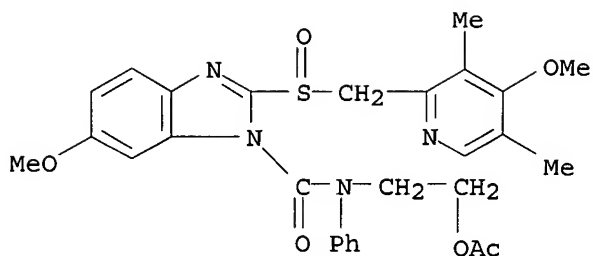


RN 635751-28-9 USPATFULL

CN Benzoic acid, 4-(trifluoromethoxy)-, 2-[methyl[[2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

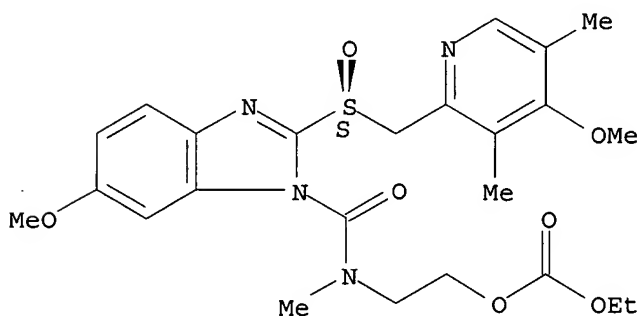
10/517,633



RN 635751-78-9 USPATFULL

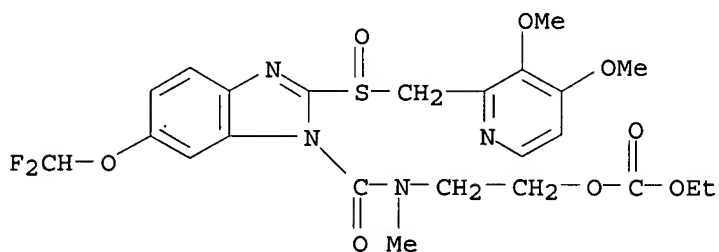
CN Carbonic acid, ethyl 2-[[[6-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]methylamino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 635751-82-5 USPATFULL

CN Carbonic acid, 2-[[[6-(difluoromethoxy)-2-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]carbonyl]methylamino]ethyl ethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 10 USPATFULL on STN

ACCESSION NUMBER: 97:27168 USPATFULL

TITLE: Pharmaceutical use of pyridine compounds

INVENTOR(S): Kawakita, Takeshi, Chikujo-gun, Japan

Sano, Mitsuharu, Chikujo-gun, Japan

Yutoku, Yuko, Chikujo-gun, Japan

Ikeda, Yoshifumi, Chikujo-gun, Japan

Haga, Keiichiro, Chikujo-gun, Japan

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
(non-U.S. corporation)

NUMBER KIND DATE

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PATENT INFORMATION: US 5616581 19970401
APPLICATION INFO.: US 1995-460666 19950602 (8)
RELATED APPLN. INFO.: Division of Ser. No. US 1994-352183, filed on 1 Dec
1994, now patented, Pat. No. US 5504082

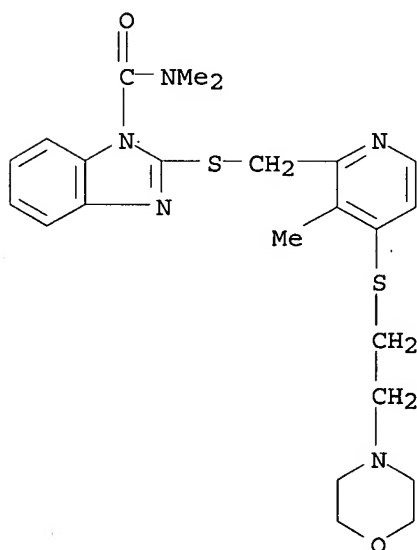
	NUMBER	DATE
PRIORITY INFORMATION:	JP 1992-167017	19920601
	JP 1993-272494	19931029
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Ramsuer, Robert W.	
LEGAL REPRESENTATIVE:	Wenderoth, Lind & Ponack	
NUMBER OF CLAIMS:	4	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2572	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pyridine compound of the formula ##STR1## wherein R.sup.1 is a hydrogen, a halogen, an alkyl, an alkoxy or the like, R.sup.2 and R.sup.3 are each a hydrogen, a halogen or an alkyl, --P.dbd.Q-- is --CH.dbd.CH--, --N.dbd.CH-- or --CH.dbd.N--, A is an oxygen atom, a sulfur atom or N(R.sup.4) wherein R.sup.4 is hydrogen, alkyl or the like, n is 0, 1 or 2, B is S(O)_p wherein p is 0, 1 or 2, D is a single bond, an alkylene or the like and E is an alkoxyalkyl or --N(R.sup.6)(R.sup.7), and a pharmaceutically acceptable salt thereof have antibacterial activity against Helicobacter pylori, antiulcer activity, gastrointestinal cytoprotective activity, ulcer recurrence, relapse-preventive activity and gastric acid secretion-suppressive activity and are useful as pharmaceutical preparations.

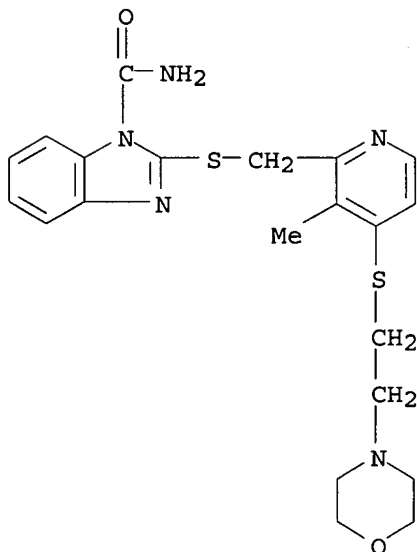
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 153284-60-7P 153284-62-9P
(preparation of, as ulcer inhibitor and antibacterial agent against Helicobacter pylori)
RN 153284-60-7 USPATFULL
CN 1H-Benzimidazole-1-carboxamide, N,N-dimethyl-2-[[[3-methyl-4-[[2-(4-morpholinyl)ethyl]thio]-2-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)



RN 153284-62-9 USPATFULL
CN 1H-Benzimidazole-1-carboxamide, 2-[[[3-methyl-4-[[2-(4-

morpholinyl)ethyl]thio]-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 10 USPATFULL on STN

ACCESSION NUMBER: 96:27193 USPATFULL

TITLE: Pyridine compound and pharmaceutical compositions

INVENTOR(S): Kawakita, Takeshi, Fukuoka, Japan

Sano, Mitsuharu, Fukuoka, Japan

Yutoku, Yuko, Fukuoka, Japan

Ikeda, Yoshifumi, Fukuoka, Japan

Haga, Keiichiro, Fukuoka, Japan

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5504082		19960402
APPLICATION INFO.:	US 1994-352183		19941201 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1992-167017	19920601
	JP 1993-272494	19931029
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Ramsuer, Robert W.	
LEGAL REPRESENTATIVE:	Wenderoth, Lind & Ponack	
NUMBER OF CLAIMS:	10	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2587	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pyridine compound of the formula ##STR1## wherein R.sup.1 is a hydrogen, a halogen, an alkyl, an alkoxy or the like, R.sup.2 and R.sup.3 are each a hydrogen, a halogen or an alkyl, --P.dbd.Q-- is --CH.dbd.CH--, --N.dbd.CH-- or --CH.dbd.N--, A is an oxygen atom, a sulfur atom or N(R.sup.4) wherein R.sup.4 is hydrogen, alkyl or the like, n is 0, 1 or 2, B is S(O)_p wherein p is 0, 1 or 2, D is a single bond, an alkylene or the like and E is an alkoxyalkyl or --N(R.sup.6)(R.sup.7), and a pharmaceutically acceptable salt thereof have antibacterial activity against Helicobacter pylori, antiulcer

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activity, gastrointestinal cytoprotective activity, ulcer recurrence, relapse-preventive activity and gastric acid secretion-suppressive activity and are useful as pharmaceutical preparations.

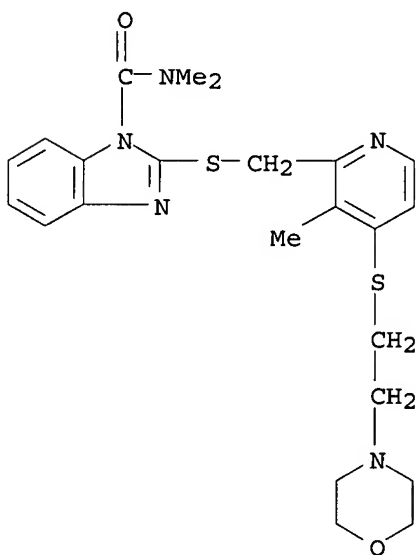
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 153284-60-7P 153284-62-9P

(preparation of, as ulcer inhibitor and antibacterial agent against *Helicobacter pylori*)

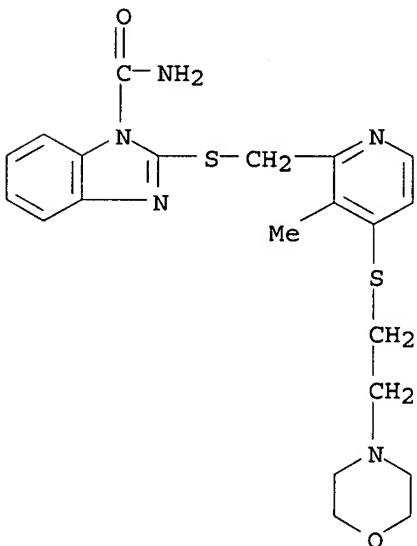
RN 153284-60-7 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, N,N-dimethyl-2-[[[3-methyl-4-[[2-(4-morpholinyl)ethyl]thio]-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



RN 153284-62-9 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, 2-[[[3-methyl-4-[[2-(4-morpholinyl)ethyl]thio]-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



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L5 ANSWER 8 OF 10 USPATFULL on STN

ACCESSION NUMBER: 91:64959 USPATFULL

TITLE: Novel pharmacologically active compound pyridyl
methylsulfinyl benzimidazole

INVENTOR(S): Brandstram, Arne E., Goteborg, Sweden
Carlsson, Stig A. I., Molnlycke, Sweden
Kallsson, Britt I. M., Molndal, Sweden
Lindberg, Per L., Askim, Sweden

PATENT ASSIGNEE(S): AB Hassle, Molndal, Sweden (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5039806		19910813
APPLICATION INFO.:	US 1989-408719		19890918 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1989-379703, filed on 12 Jul 1989, now abandoned which is a continuation of Ser. No. US 1988-266330, filed on 1 Nov 1988, now abandoned which is a continuation of Ser. No. US 1987-21992, filed on 5 Mar 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-884863, filed on 16 Jul 1986, now abandoned which is a continuation of Ser. No. US 1984-578418, filed on 9 Feb 1984, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	SE 1983-7369	19830211
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Fan, Jane T.	
LEGAL REPRESENTATIVE:	White & Case	
NUMBER OF CLAIMS:	1	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1942	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel compounds of the formula ##STR1## pharmaceutical compositions containing such compounds as active ingredient, and the use of the compounds in medicine.

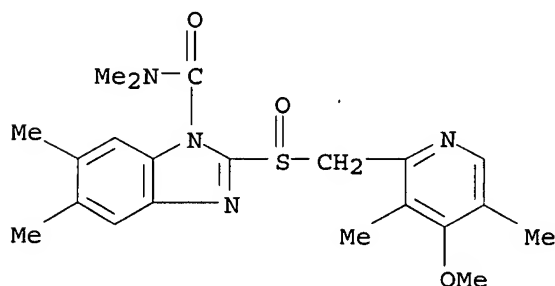
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 92894-17-2P

(preparation and stomach antisecretory activity of)

RN 92894-17-2 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, 2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-N,N,5,6-tetramethyl- (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 10 USPATFULL on STN

ACCESSION NUMBER: 82:55664 USPATFULL

TITLE: Methods for treating gastrointestinal inflammation

10/517,633

INVENTOR(S): Ruwart, Mary J., Kalamazoo, MI, United States
PATENT ASSIGNEE(S): The Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4359465		19821116
APPLICATION INFO.:	US 1980-173233		19800728 (6)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Rosen, Sam		
LEGAL REPRESENTATIVE:	Hattan, L. R.		
NUMBER OF CLAIMS:	5		
EXEMPLARY CLAIM:	1,2		
LINE COUNT:	1253		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

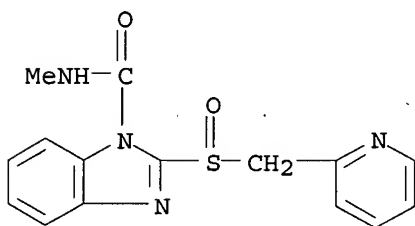
AB The present invention relates to the novel cytoprotective use for known heterocyclalkylsulfinylbenzimidazoles, and novel, substantially non-antisecretory unit dose pharmaceutical compositions thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 60524-95-0 60536-43-8
(gastrointestinal inflammation inhibitor)

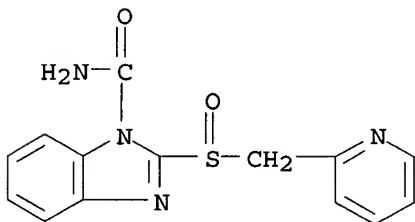
RN 60524-95-0 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)sulfinyl]-
(9CI) (CA INDEX NAME)



RN 60536-43-8 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, 2-[(2-pyridinylmethyl)sulfinyl]- (9CI)
(CA INDEX NAME)



L5 ANSWER 10 OF 10 USPATFULL on STN

ACCESSION NUMBER: 77:46665 USPATFULL

TITLE: Substituted 2-[pyridylalkylenesulfinyl]-benzimidazoles with gastric acid secretion inhibiting effects

INVENTOR(S): Berntsson, Peder Bernhard, Molndal, Sweden
Carlsson, Stig Ake Ingemar, Molnlycke, Sweden
Garberg, Lars Erik, Molnlycke, Sweden
Junggren, Ulf Krister, Pixbo, Sweden
Sjostrand, Sven Erik, Kungsbacka, Sweden

10/517,633

PATENT ASSIGNEE(S): VON Wittken Sundell, Gunhild Wika, Askim, Sweden
AB Hassle, Goteborg, Sweden (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4045563		19770830
APPLICATION INFO.:	US 1975-630916		19751111 (5)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Rotman, Alan L.		
LEGAL REPRESENTATIVE:	Brumbaugh, Graves, Donohue & Raymond		
NUMBER OF CLAIMS:	65		
EXEMPLARY CLAIM:	1,7		
LINE COUNT:	1104		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds having the structural formula ##STR1## PHARMACEUTICAL
COMPOSITIONS CONTAINING THE SAME, AND THE USE THEREOF FOR AFFECTING
GASTRIC ACID SECRETION; INTERMEDIATE PRODUCTS HAVING THE STRUCTURAL
FORMULA ##STR2## AND METHODS FOR PREPARING THE SAME.

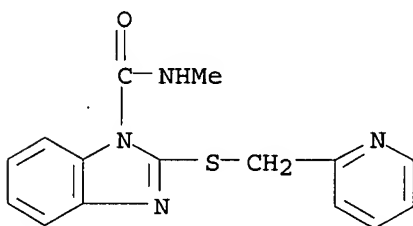
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 60525-10-2P

(preparation and oxidation of)

RN 60525-10-2 USPATFULL

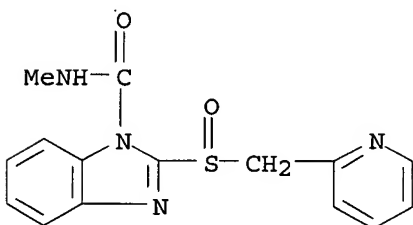
CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)thio]-
(9CI) (CA INDEX NAME)



IT 60524-95-0P 60536-43-8P
(preparation of)

RN 60524-95-0 USPATFULL

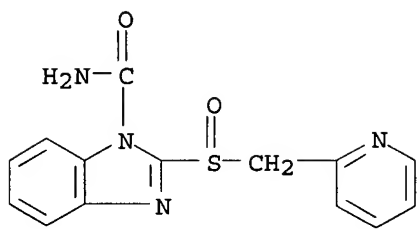
CN 1H-Benzimidazole-1-carboxamide, N-methyl-2-[(2-pyridinylmethyl)sulfinyl]-
(9CI) (CA INDEX NAME)



RN 60536-43-8 USPATFULL

CN 1H-Benzimidazole-1-carboxamide, 2-[(2-pyridinylmethyl)sulfinyl]- (9CI)
(CA INDEX NAME)

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